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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 3	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 4	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 5	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 6	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 7	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 8	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 9	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 10	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 11	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 12	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 13	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 14	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 15	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 16	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS 17	JUL 28	CA/CAplus patent coverage enhanced
NEWS 18	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 19	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 20	JUL 28	STN Viewer performance improved
NEWS 21	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 22	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 23	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS 24	AUG 15	CAplus currency for Korean patents enhanced
NEWS 25	AUG 25	CA/CAplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching
NEWS 26	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,

AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:00:24 ON 29 AUG 2008

=> d L1
NO L# DEFINED

There are no L# queries, structures, or screen sets
defined in the current session.

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:00:36 ON 29 AUG 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 AUG 2008 HIGHEST RN 1044280-23-0
DICTIONARY FILE UPDATES: 27 AUG 2008 HIGHEST RN 1044280-23-0

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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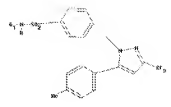
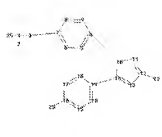
http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10516938 Tc or Tb is not C=O.str





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ring nodes :
4  5  6  7  8  9  10  11  12  13  14  15  16  17  18  19  20
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1-3  1-2  1-25  3-7  4-10  12-22  14-19  16-23
ring bonds :
4-5  4-9  5-6  6-7  7-8  8-9  10-11  10-14  11-12  12-13  13-14  15-16  15-20  16-
17
17-18  18-19  19-20
exact/norm bonds :
1-3  1-25  4-10  10-11  10-14  11-12
exact bonds :
1-2  3-7  12-13  12-22  13-14  14-19  16-23
normalized bonds :
4-5  4-9  5-6  6-7  7-8  8-9  15-16  15-20  16-17  17-18  18-19  19-20
isolated ring systems :
containing 4 : 10 : 15 :


G1:O,S,N,C

Match level :
1:CLASS  2:CLASS  3:CLASS  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
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23:CLASS  25:CLASS



L1      STRUCTURE UPLOADED

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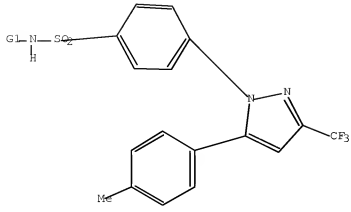

Gl O,S,N,C

Structure attributes must be viewed using STN Express query preparation.

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COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.46       0.67

FILE 'CAPLUS' ENTERED AT 09:00:56 ON 29 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 29 Aug 2008  VOL 149 ISS 10
FILE LAST UPDATED: 28 Aug 2008  (20080828/ED)
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Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

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=> s L1 SSS full
   PEGlstRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.
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FULL SEARCH INITIATED 09:01:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 345 TO ITERATE

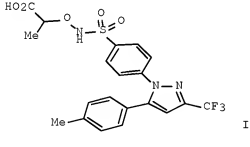
100.0% PROCESSED 345 ITERATIONS 75 ANSWERS
SEARCH TIME: 00.00.01

L2 75 SEA SSS FUL L1

L3 28 L2

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 28 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1419285 CAPLUS Full-text
DOCUMENT NUMBER: 148:229504
TITLE: New Celecoxib eerivatives as anti-inflammatory agents
AUTHOR(S): Szabo, Gyoergy; Fischer, Janos; Kis-Varga, Agnes; Gyires, Klara
CORPORATE SOURCE: Medicinal Chemistry Research Laboratory and Department of Pharmacology, Gedeon Richter Plc, Budapest, H-1475, Hung.
SOURCE: Journal of Medicinal Chemistry (2008), 51(1), 142-147
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:229504
GI



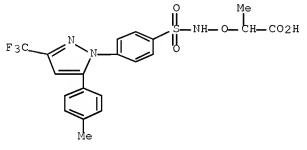
I

AB Arylpyrazolephenylsulfonylaminooxycarboxylic acids and esters such as I are prepared as celecoxib analogs for potential use as antiinflammatory and analgesic agents. For example, I and its disodium salt have higher anti-inflammatory and analgesic activities in rats than celecoxib, though they do not inhibit COX-1 or COX-2 in vitro. I inhibits ulcer healing less than celecoxib or indomethacin in ulcerative models in rats.
IT 921617-79-0P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of an arylpyrazolephenylsulfonylaminooxypropanoic acid as a celecoxib analog, its lack of inhibition of human COX-1 and COX-2, its antiinflammatory and analgesic activities, and its effects in a rat ulcer model)

RN 921617-79-0 CAPLUS

CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]- (CA INDEX NAME)

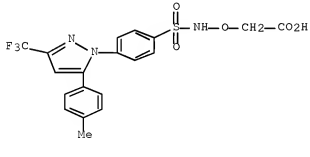


IT 921617-81-4P 921617-83-6P 921753-82-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylpyrazolephenylsulfonylaminooxycarboxylic acids and esters as celecoxib analogs, their lack of inhibition of human COX-1 and COX-2, and their antiinflammatory activities)

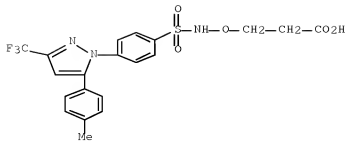
RN 921617-81-4 CAPLUS

CN Acetic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]- (CA INDEX NAME)

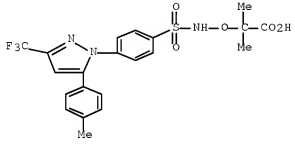


RN 921617-83-6 CAPLUS

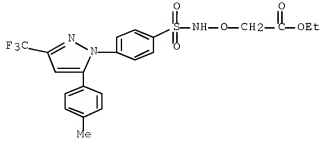
CN Propanoic acid, 3-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]- (CA INDEX NAME)



RN 921753-82-4 CAPLUS
CN Propanoic acid, 2-methyl-2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]- (CA INDEX NAME)

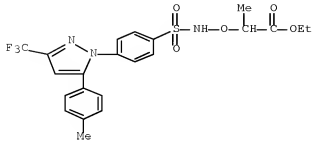


IT 921617-82-5P 921617-84-7P 1005788-66-6P
1005788-67-7P 1005788-68-0P 1005788-69-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of arylpyrazolephenylsulfonylaminooxycarboxylic acids and esters as celecoxib analogs, their lack of inhibition of human COX-1 and COX-2, and their antiinflammatory activities)
RN 921617-82-5 CAPLUS
CN Acetic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, ethyl ester (CA INDEX NAME)

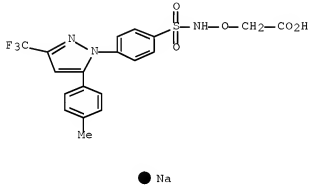


RN 921617-84-7 CAPLUS
CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-

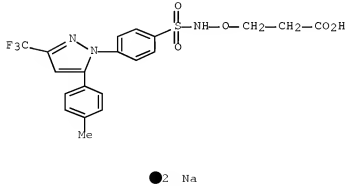
1-yl]phenyl]sulfonyl]amino]oxy]-, ethyl ester (CA INDEX NAME)



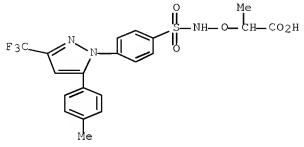
RN 1005788-66-8 CAPLUS
CN Acetic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, sodium salt (1:1) (CA INDEX NAME)



RN 1005788-67-9 CAPLUS
CN Propanoic acid, 3-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, sodium salt (1:2) (CA INDEX NAME)

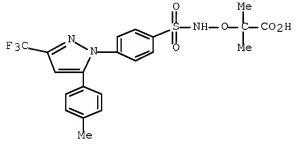


RN 1005788-68-0 CAPLUS
CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, sodium salt (1:2) (CA INDEX NAME)



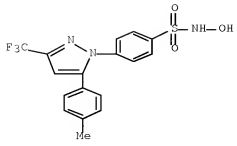
2 Na

RN 1005788-69-1 CAPLUS
CN Propanoic acid, 2-methyl-2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, sodium salt (1:2) (CA INDEX NAME)



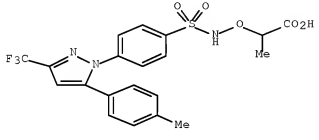
2 Na

IT 921617-77-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of arylpyrazolephenylsulfonylaminooxycarboxylic acids and esters as celecoxib analogs, their lack of inhibition of human COX-1 and COX-2, and their antiinflammatory activities)
RN 921617-77-8 CAPLUS
CN Benzenesulfonamide, N-hydroxy-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



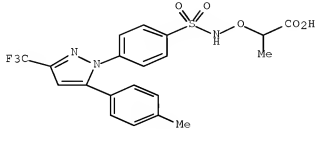
IT 921617-91-6P 921617-92-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(resolution of an arylpyrazolephenylsulfonylaminooxypropanoic acid celecoxib analog, the lack of inhibition of human COX-1 and COX-2 of the enantiomers, and their antiinflammatory activities)
RN 921617-91-6 CAPLUS
CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, (-)- (CA INDEX NAME)

Rotation (-).



RN 921617-92-7 CAPLUS
CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, (+)- (CA INDEX NAME)

Rotation (+).



IT 921617-93-8P

RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(resolution of an arylpyrazolephenylsulfonylaminooxypropanoic acid celecoxib analog, the lack of inhibition of human COX-1 and COX-2 of the enantiomers, and their antiinflammatory activities)

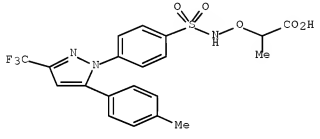
RN 921617-93-8 CAPLUS

CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, (-)-, compd. with (αR)-α-[(1S)-1-(methylamino)ethyl]benzenemethanol (1:1) (CA INDEX NAME)

CM 1

CRN 921617-91-6
CMF C20 H18 F3 N3 O5 S

Rotation (-).



CM 2

CRN 299-42-3
CMF C10 H15 N O

Absolute stereochemistry.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:939993 CAPLUS Full-text
DOCUMENT NUMBER: 147:292225
TITLE: Use of cell-specific macrolide-antiinflammatory molecule conjugates for treatment of inflammatory diseases of the gastrointestinal tract
INVENTOR(S): Mercep, Mladen; Mesic, Milan; Tomaskovic, Linda; Markovic, Stribor
PATENT ASSIGNEE(S): Glaxosmithkline Istrazivacki Centar Zagreb D.O.O., Croatia

SOURCE: PCT Int. Appl., 90pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007093840	A2	20070823	WO 2006-IB1488	20060215
WO 2007093840	A3	20080214		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: WO 2006-IB1488 20060215
OTHER SOURCE(S): MARPAT 147:292225

AB The invention discloses methods for the prevention and treatment of inflammatory diseases, disorders, and conditions of the gastrointestinal tract by administering to a patient in need of such treatment, conjugate compds. MLT [M = macrolide subunit possessing property of accumulation in inflammatory cells; T = antiinflammatory subunit that can be steroid or nonsteroid (nonsteroidal moiety) derived from a nonsteroid drug with anti- inflammatory, analgesic and/or antipyretic activity (NSAID); L = linker]having low oral-bioavailability, or pharmaceutically acceptable salts, prodrugs, or solvate thereof. The invention also discloses pharmaceutical compns. containing the above conjugate compds. having low oral-bioavailability.

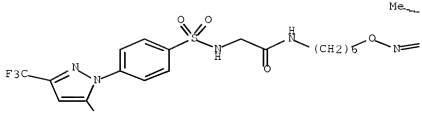
IT 905905-46-6
RL: PKT (Pharmacokinetics); BIOL (Biological study)
(cell-specific macrolide-antiinflammatory mol. conjugate for treatment of inflammatory disease of gastrointestinal tract)

RN 905905-46-6 CAPLUS

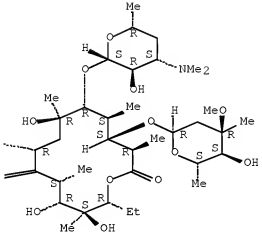
CN Erythromycin, 9-[O-[6-[[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]acetyl]amino]hexyl]oxime] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

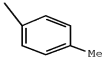
PAGE 1-A



PAGE 1-B



PAGE 2-A

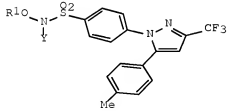


L3 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:116035 CAPLUS Full-text

DOCUMENT NUMBER: 146:184460
TITLE: Preparation of 1,2-diarylpyrazoles as analgesics and antiinflammatories.
INVENTOR(S): Fischer, Janos; Kis-Varga, Istvanne; Szabo, Gyoergy; Leibinger, Janos
PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.
SOURCE: PCT Int. Appl., 29pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007012906	A1	20070201	WO 2006-HU63	20060727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
HU 2005000730	A2	20070228	HU 2005-730	20050729
EP 1915347	A1	20080430	EP 2006-765404	20060727
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			HU 2005-730	A 20050729
			WO 2006-HU63	W 20060727
OTHER SOURCE(S):	MARPAT 146:184460			

GI



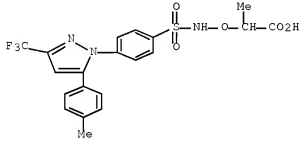
I

AB Title compds. (I; R1 = H, acyl, PhCO, R2CO2R3; Y = H, alkali metal ion; R2 = alkylidene; R3 = H, alkyl, alkali metal ion), were prepared Thus, 2-aminooxypropionic acid hydrochloride and NaOAc in dioxane/H2O were treated dropwise with 4-(5-p-methylphenyl-3-trifluoromethylpyrazol-1-yl)benzenesulfonyl chloride (preparation given) in dioxane to give 96% 2-[4-(5-p-methylphenyl-3-trifluoromethylpyrazol-1-

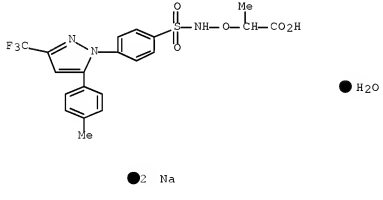
yl)benzenesulfonylaminoxy]propionic acid. The latter at 3 mg/kg orally in rats gave 21% inhibition of carrageenan induced edema after 4 h, vs. 16% for Celecoxib.

IT 921617-79-0P 921617-80-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of diarylpyrazoles as analgesics and antiinflammatories)

RN 921617-79-0 CAPLUS
CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]- (CA INDEX NAME)



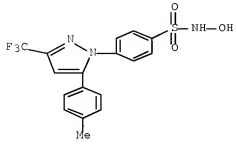
RN 921617-80-3 CAPLUS
CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, sodium salt, hydrate (1:2:1) (CA INDEX NAME)



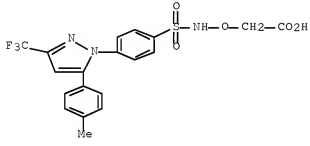
IT 921617-77-8P 921617-81-4P 921617-82-5P
921617-83-6P 921617-84-7P 921617-85-8P
921617-86-9P 921617-87-0P 921617-88-1P
921617-89-2P 921617-90-5P 921617-91-6P
921617-92-7P 921617-93-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylpyrazoles as analgesics and antiinflammatories)

RN 921617-77-8 CAPLUS

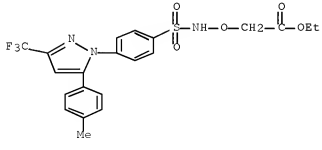
CN Benzenesulfonamide, N-hydroxy-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



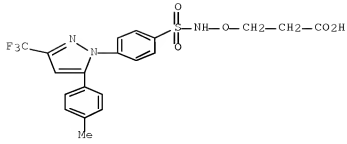
RN 921617-81-4 CAPLUS
CN Acetic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]- (CA INDEX NAME)



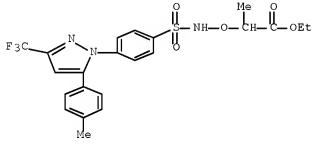
RN 921617-82-5 CAPLUS
CN Acetic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, ethyl ester (CA INDEX NAME)



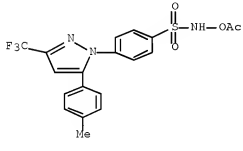
RN 921617-83-6 CAPLUS
CN Propanoic acid, 3-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]- (CA INDEX NAME)



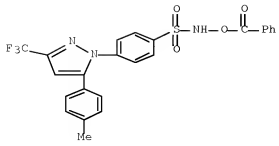
RN 921617-84-7 CAPLUS
CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, ethyl ester (CA INDEX NAME)



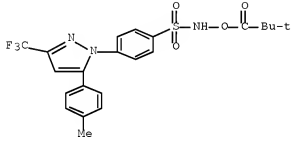
RN 921617-85-8 CAPLUS
CN Acetic acid, [[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]azanyl ester (CA INDEX NAME)



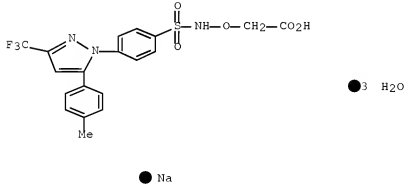
RN 921617-86-9 CAPLUS
CN Benzoic acid, [[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]azanyl ester (CA INDEX NAME)



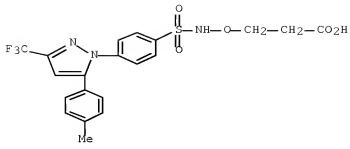
RN 921617-87-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]azanyl ester (CA INDEX NAME)



RN 921617-88-1 CAPLUS
CN Acetic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, sodium salt, hydrate (1:1:3) (CA INDEX NAME)



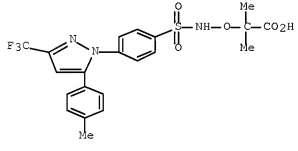
RN 921617-89-2 CAPLUS
CN Propanoic acid, 3-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, sodium salt, hydrate (1:2:1) (CA INDEX NAME)



● 2 Na

● H2O

RN 921617-90-5 CAPLUS
CN Propanoic acid, 2-methyl-2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, sodium salt, hydrate (1:2:1) (CA INDEX NAME)

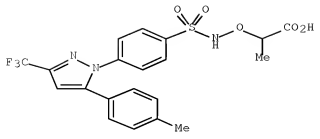


● H2O

● 2 Na

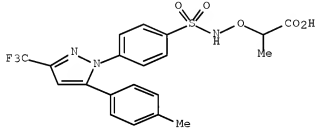
RN 921617-91-6 CAPLUS
CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, (-)- (CA INDEX NAME)

Rotation (-).



RN 921617-92-7 CAPLUS
CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, (+)- (CA INDEX NAME)

Rotation (+).

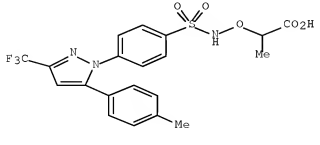


RN 921617-93-8 CAPLUS
CN Propanoic acid, 2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]oxy]-, (-)-, compd. with (αR)-α-[(1S)-1-(methylamino)ethyl]benzenemethanol (1:1) (CA INDEX NAME)

CM 1

CRN 921617-91-6
CMF C20 H18 F3 N3 O5 S

Rotation (-).



CM 2

CRN 299-42-3
CMF C10 H15 N O

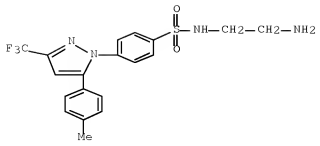
Absolute stereochemistry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1238174 CAPLUS Full-text
DOCUMENT NUMBER: 146:155551
TITLE: A celecoxib derivative potently inhibits proliferation of colon adenocarcinoma cells by induction of apoptosis
AUTHOR(S): Kusunoki, Natsuko; Ito, Takumi; Sakurai, Nobuyuki; Handa, Hiroshi; Kawai, Shinichi
CORPORATE SOURCE: Division of Rheumatology, Department of Internal Medicine, Toho University Omori Medical Center, 6-11-1 Omori-Nishi, Ota-Ku, Tokyo, 143-8541, Japan
SOURCE: Anticancer Research (2006), 26(5A), 3229-3236
CODEN: ANTRD4; ISSN: 0250-7005
PUBLISHER: International Institute of Anticancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Celecoxib, a selective cyclooxygenase (COX)-2 inhibitor, has a proapoptotic effect on colon adenocarcinoma cells via COX-independent mechanisms. The proapoptotic effect of N-(2-aminoethyl)-4-[5-(4-tolyl)-3- (trifluoromethyl)-1H-pyrazol-1-yl] benzenesulfonamide (TT101), a new derivative of celecoxib, was investigated on HT-29 and SW480 colon adenocarcinoma cells. Cell proliferation and viability were assessed by incorporation of 5-bromo-2'-deoxyuridine and by the 2-(4-iodophenyl)-3-(4- nitrophenyl)-5-(2,4-disulfophenyl)-2H-tetrazolium monosodium salt assay, resp. Apoptosis was detected by identifying DNA fragmentation. Production of prostaglandin E2 by the HT-29 cells was analyzed. TT101 inhibited the proliferation of HT-29 and SW480 cells by inducing apoptosis more potently than celecoxib in a concentration-dependent manner. The COX-2 inhibitory effect of TT101 was weaker than that of celecoxib. A slight modification of celecoxib enhanced the proapoptotic effect on colon adenocarcinoma cells.

IT 862473-59-4, TT101
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(celecoxib derivative TT101 more potently reduced proliferation and viability, caused apoptosis than TT201, celecoxib, SC-236 but weakly inhibited cyclooxygenase-2 activity in human colon adenocarcinoma cell)
RN 862473-59-4 CAPLUS
CN Benzenesulfonamide, N-(2-aminoethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1228883 CAPLUS Full-text
DOCUMENT NUMBER: 145:505447
TITLE: Preparation of high-conductance, calcium-sensitive potassium channel openers
INVENTOR(S): Imanishi, Yasuhiro; Awai, Nobumasa; Hirai, Miki; Hosaka, Toshihiro; Kono, Rikako
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 164pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006316054	A	20061124	JP 2006-111427	20060414
PRIORITY APPLN. INFO.:			JP 2005-117662 A	20050415

OTHER SOURCE(S): MARPAT 145:505447
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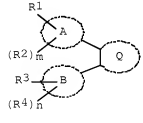


I

AB Title openers, useful for prophylactic and therapeutic treatment of urinary frequency, incontinence, asthma, and chronic obstructive pulmonary disease, are prepared from tricyclic compds. I [ring A = benzene, heterocycle; ring B = benzene, heterocycle, cycloalkane, cycloalkene; ring Q = halo- or (halo)alkyl-substituted pyrazole, isoxazole; R1, R3 = R5R6NCO, R5ONR6CO, R5R6NNHCO, R5CO, R5O, R5S, H, etc; R2, R4 = O, cyano, NO2, OH, alkoxy, halo, CO2H, etc.; R5, R6 = H, (un)substituted alkyl, (condensed) (un)substituted cycloalkyl,

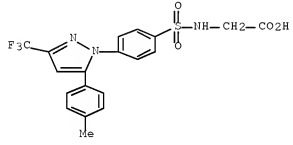
(un)substituted heterocyclyl, etc.; m, n = 0-2] are prepared Thus, deprotection of BOC-protected pyrazole derivative II (R = BOC) gave II (R = H), which inhibited K-induced bladder contraction with IC50 value of 1-3 μM.

IT 850828-72-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazoles or isoxazoles as high-conductance, Ca2+-sensitive K+ channel openers for treatment of diseases)

RN 850828-72-7 CAPLUS

CN Glycine, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)

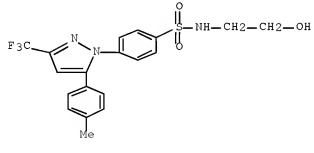


IT 473465-02-0P 850828-49-8P 850828-67-0P
850828-68-1P 850828-69-2P 850828-71-6P
850828-81-8P 850828-85-2P 850828-95-4P
850828-96-5P 850829-26-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazoles or isoxazoles as high-conductance, Ca2+-sensitive K+ channel openers for treatment of diseases)

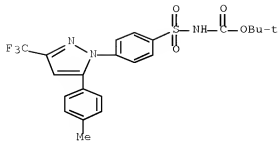
RN 473465-02-0 CAPLUS

CN Benzenesulfonamide, N-(2-hydroxyethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

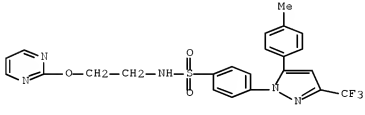


RN 850828-49-8 CAPLUS

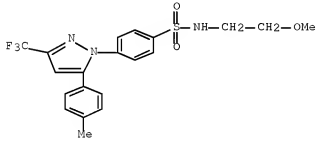
CN Carbamic acid, [[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



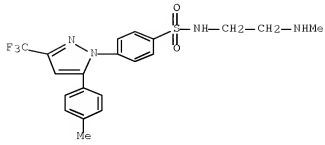
RN 850828-67-0 CAPLUS
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-N-[2-(2-pyrimidinyloxy)ethyl]- (CA INDEX NAME)



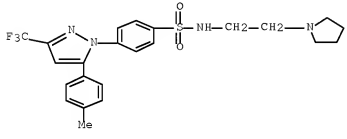
RN 850828-68-1 CAPLUS
CN Benzenesulfonamide, N-(2-methoxyethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



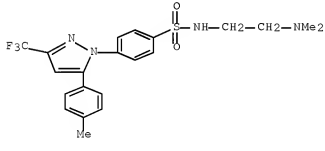
RN 850828-69-2 CAPLUS
CN Benzenesulfonamide, N-[2-(methylamino)ethyl]-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



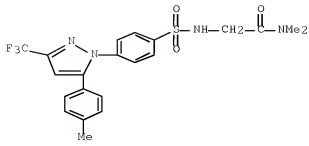
RN 850828-71-6 CAPLUS
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



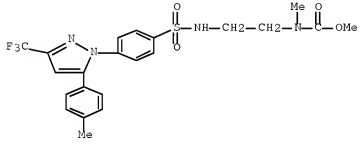
RN 850828-81-8 CAPLUS
CN Benzenesulfonamide, N-[2-(dimethylamino)ethyl]-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



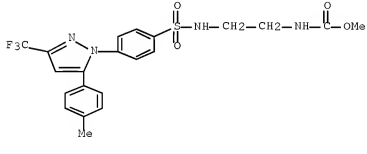
RN 850828-85-2 CAPLUS
CN Acetamide, N,N-dimethyl-2-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]- (CA INDEX NAME)



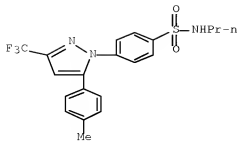
RN 850828-95-4 CAPLUS
CN Carbamic acid, methyl[2-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 850828-96-5 CAPLUS
CN Carbamic acid, [2-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 850829-96-8 CAPLUS
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-N-propyl- (CA INDEX NAME)



L3 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1066984 CAPLUS Full-text
DOCUMENT NUMBER: 145:425936
TITLE: Poly(peptide) as a chelator: methods of manufacture and uses
INVENTOR(S): Yang, David J.; Yu, Tony Dong-Fang; Oh, Chang Sok; Kohanim, Saady; Kim, E. Edmund; Azdharinia, Ali
PATENT ASSIGNEE(S): Board of Regents, The University of Texas System, USA
SOURCE: PCT Int. Appl., 132pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

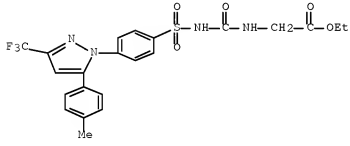
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006107794	A2	20061012	WO 2006-US12132	20060331
WO 2006107794	A3	20070920		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006232318	A1	20061012	AU 2006-232318	20060331
CA 2603437	A1	20061012	CA 2006-2603437	20060331
US 20060246005	A1	20061102	US 2006-394664	20060331
EP 1888125	A2	20080220	EP 2006-740300	20060331
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008534617	T	20080828	JP 2008-504460	20060331
IN 2007KN03534	A	20080118	IN 2007-KN3534	20070919
KR 2008009682	A	20080129	KR 2007-722348	20070928
CN 101203249	A	20080618	CN 2006-80010760	20070929

PRIORITY APPLN. INFO.:			
		US 2005-667815P	P 20050401
		WO 2006-US12132	W 20060331

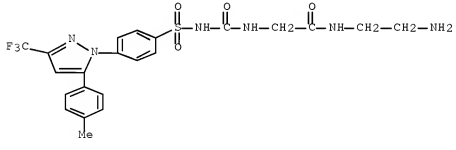
AB Novel compns. for imaging that include (a) a polypeptide that includes two or more consecutive amino acids that will function to non-covalently bind valent metal ions and (2) a valent metal ion chelated to at least one of the two consecutive amino acids, are disclosed. The polypeptide functions as a carrier as well as a chelator and may be conjugated to targeting moieties as well as therapeutic moieties in addition to imaging agents. Also disclosed are methods of imaging using these novel compns., such as methods of imaging a tumor within a subject. Methods of synthesizing an imaging agent and kits for preparing an imaging agent are also disclosed.

IT 693260-03-6P 693260-05-8DP, labeled, reaction with polyglutamic acid 693260-05-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polypeptide conjugates for tumor drug delivery, targeting and imaging)

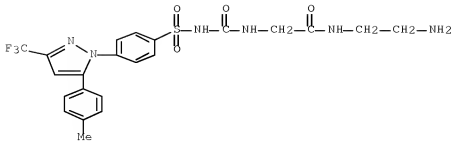
RN 693260-03-6 CAPLUS
CN Glycine, N-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]carbonyl]-, ethyl ester (CA INDEX NAME)



RN 693260-05-8 CAPLUS
CN Acetamide, N-(2-aminoethyl)-2-[[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]carbonyl]amino]-
(CA INDEX NAME)



RN 693260-05-8 CAPLUS
CN Acetamide, N-(2-aminoethyl)-2-[[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]carbonyl]amino]-
(CA INDEX NAME)



L3 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:823419 CAPLUS Full-text
DOCUMENT NUMBER: 145:241730
TITLE: Use of immune cell specific conjugates for treatment of inflammatory diseases of gastrointestinal tract
INVENTOR(S): Mercep, Mladen; Mesic, Milan; Tomaskovic, Linda; Markovic, Stribor
PATENT ASSIGNEE(S): Pliva-Istrazivacki Institut d.o.o., Croatia
SOURCE: U.S. Pat. Appl. Publ., 53pp., Cont.-in-part of U.S. Ser. No. 201,685.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060183696	A1	20060817	US 2006-355808	20060215
US 20060035845	A1	20060216	US 2005-201685	20050810
AU 2005273592	A1	20060223	AU 2005-273592	20050810
CA 2576291	A1	20060223	CA 2005-2576291	20050810
EP 1778292	A2	20070502	EP 2005-786822	20050810
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101043907	A	20070926	CN 2005-80034852	20050810
JP 2008509899	T	20080403	JP 2007-525378	20050810
BR 2005014254	A	20080603	BR 2005-14254	20050810
MX 2007001669	A	20070410	MX 2007-1669	20070209
IN 2007DN01351	A	20070803	IN 2007-DN1351	20070220
NO 2007001244	A	20070417	NO 2007-1244	20070307
KR 2007046917	A	20070503	KR 2007-705633	20070309

PRIORITY APPLN. INFO.:	US 2004-601087P	P	20040812
	US 2004-603315P	P	20040819
	US 2005-201685	A2	20050810
	WO 2005-IB2406	W	20050810

OTHER SOURCE(S): MARPAT 145:241730

AB The present invention is directed to methods for the prevention and treatment of inflammatory diseases, disorders, and conditions of gastrointestinal tract by administering to a patient in need of such treatment, conjugate compds. of Formula VII (M-L-T) having low oral-bioavailability, or pharmaceutically acceptable salts, prodrugs, or solvate thereof: wherein M represents a macrolide subunit possessing the property of accumulation in inflammatory cells, T represents an anti-inflammatory subunit that can be a steroid or

nonsteroid (nonsteroidal moiety) derived from a non-steroid drug with anti-inflammatory, analgesic and/or antipyretic activity (NSAID) and L represents a linker covalently linking M and T. The present disclosure is also directed to pharmaceutical compns. containing conjugate compds. of Formula VII having low oral-bioavailability.

IT 905905-46-6

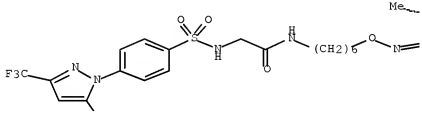
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of immune cell specific conjugates of macrolides linked to an anti-inflammatory subunit with low bioavailability for treatment of inflammatory diseases of gastrointestinal tract)

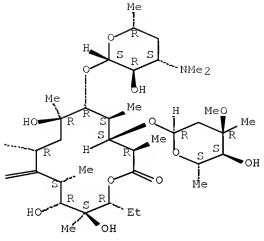
RN 905905-46-6 CAPLUS

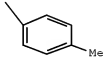
CN Erythromycin, 9-[O-[6-[[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]acetyl]amino]hexyl]oxime] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A







L3 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:412088 CAPLUS Full-text
DOCUMENT NUMBER: 144:450549
TITLE: Conjugates with anti-inflammatory activity
INVENTOR(S): Mercep, Mladen; Mesic, Milan; Tomaskovic, Linda; Markovic, Stribor; Poljak, Visnja; Sijan, Gordana; Selmani, Selvira
PATENT ASSIGNEE(S): Pliva-Istrazivacki Institut D.O.O., Croatia
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046123	A2	20060504	WO 2005-IB3213	20051027
WO 2006046123	A3	20060706		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

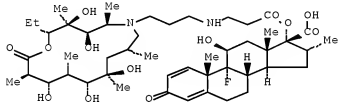
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU	2005298312	A1	20060504	AU 2005-298312	20051027
CA	2585711	A1	20060504	CA 2005-2585711	20051027
EP	1805202	A2	20070711	EP 2005-824103	20051027
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
CN	101090908	A	20071219	CN 2005-80045145	20051027
BR	2005017024	A	20080325	BR 2005-17024	20051027
JP	2008517993	T	20080529	JP 2007-538531	20051027
IN	2007DN02459	A	20070504	IN 2007-DN2459	20070402
MX	2007005073	A	20070625	MX 2007-5073	20070426
KR	2007083811	A	20070824	KR 2007-709486	20070426
NO	2007002684	A	20070711	NO 2007-2684	20070525

PRIORITY APPLN. INFO.: US 2004-623154P P 20041027
WO 2005-IB3213 W 20051027

OTHER SOURCE(S): CASREACT 144:450549; MARPAT 144:450549

GI



I

AB Macrolide conjugates of the general form M-L-Z [M = biol. active macrolide moiety; L = linking moiety; Z = biol. active steroidal or non-steroidal anti-inflammatory moiety] were prepared for therapeutic use in the treatment of inflammatory and immune diseases and conditions. These diseases and conditions may include asthma, adult respiratory distress syndrome, chronic obstructive pulmonary disease, bronchitis, and cystic fibrosis, inflammatory bowel conditions, like Crohn's disease, ulcerative colitis, distal proctitis, rheumatoid arthritis, rheumatoid spondylitis, osteoarthritis, gouty arthritis, uveitis, conjunctivitis, psoriasis, eczema, dermatitis, coronary infarct damage, chronic inflammation, endotoxin shock and smooth muscle proliferation disorders. Thus, macrolide steroid conjugate I was prepared starting from a macrocyclic aglycon subunit of azithromycin, acrylonitrile, (-)-dexamethasone acid and acryloyl chloride. The prepared macrolide conjugates were assayed for human glucocorticoid receptor binding activity and for inhibition of mouse T-cell hybridoma 13 proliferation.

IT 885313-24-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

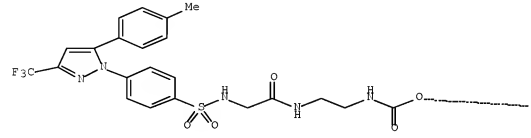
(preparation of steroid and NSAID conjugates with macrolides for therapeutic
use in the treatment of inflammatory and immune disorders)

RN 885313-24-6 CAPLUS

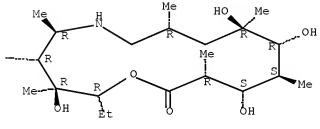
CN Carbamic acid, [2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]acetyl]amino]ethyl]-, (2R,3R,4R,5R,8R,10R,11R,12S,13S,14R)-2-ethyl-3,10,11,13-tetrahydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-1-oxa-6-azacyclopentadec-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

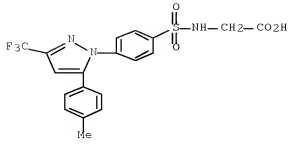
PAGE 1-A



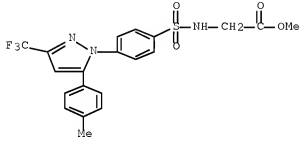
PAGE 1-B



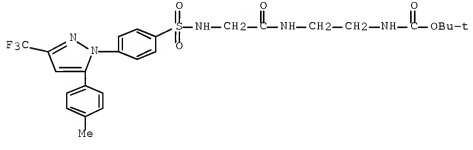
IT 850828-72-7P 885313-66-6P 885313-67-7P
885313-68-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of steroid and NSAID conjugates with macrolides for therapeutic
use in the treatment of inflammatory and immune disorders)
RN 850828-72-7 CAPLUS
CN Glycine, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)



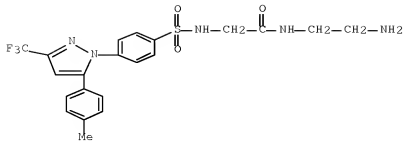
RN 885313-66-6 CAPLUS
CN Glycine, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 885313-67-7 CAPLUS
CN Carbamic acid, [2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]acetyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 885313-68-8 CAPLUS
CN Acetamide, N-(2-aminoethyl)-2-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]- (CA INDEX NAME)



L3 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:191976 CAPLUS Full-text
DOCUMENT NUMBER: 144:273755
TITLE: Preparation of prodrugs containing novel biocleavable linkers
INVENTOR(S): Satyam, Apparao
PATENT ASSIGNEE(S): Nicholas Piramal India Ltd., India
SOURCE: U.S. Pat. Appl. Publ., 181 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060046967	A1	20060302	US 2005-213396	20050826
US 20060205674	A2	20060914		
AU 2005281359	A1	20060316	AU 2005-281359	20050826
CA 2577490	A1	20060316	CA 2005-2577490	20050826
WO 2006027711	A2	20060316	WO 2005-IB52797	20050826
WO 2006027711	A3	20070315		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1789091	A2	20070530	EP 2005-781464	20050826
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CN 101039701	A	20070919	CN 2005-80034555	20050826
JP 2008510795	T	20080410	JP 2007-529100	20050826
BR 2005015218	A	20080708	BR 2005-15218	20050826
KR 2007053214	A	20070523	KR 2007-702931	20070206
MX 200702210	A	20070507	MX 2007-2210	20070223
IN 2007MN00439	A	20070720	IN 2007-MN439	20070326

PRIORITY APPLN. INFO.: US 2004-604632P P 20040826

IN 2005-MU779 A 20050701
WO 2005-IB52797 W 20050826

OTHER SOURCE(S): MARPAT 144:273755

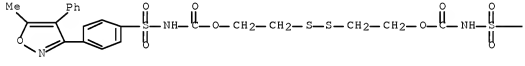
AB The invention provides compds. D1-L1-E-A-B-A1-E-(L-E-A1-B-A-E)0-2-L2-D2 [B is a bond, (CH2)1-6, (CH2CH2O)1-1000, S-S, S-S:O, S-SO2 or S-S:NH; A, A1 are independently a bond, (CH2)1-8, 1,2-, 1,3- or 1,4-phenylene; D1 is a therapeutic agent having one or more functional groups OH, SH, NHR1, CO2H, CONHR1, O2CNHR1, SO2NHR1, SO2NHR1, NR1CONHNHR1 or NR1SO2NHR1 (R1 is H, alkyl, aryl, etc.); D2 is D1, a peptide, protein, monoclonal antibody, vitamin, NO, NO2, NONOate, a nitric oxide-releasing group, a polymer, etc.; E is independently CH2 or a bond; L1, L2 are independently a bond, O, S, NR1, L, or a linkage] or their pharmaceutically-acceptable salts for use as prodrugs, including NO-releasing prodrugs. Thus, aspirin prodrug 2-AcOC6H4CONHCH2CH2SSCH2CH2ONO2 was prepared and shown to release salicylate in rats in a sustained and controlled manner starting from 1 h through 12 h.

IT 877864-48-7P 877865-25-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of prodrugs containing novel biocleavable linkers)

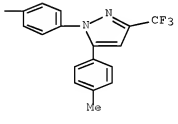
RN 877864-48-7 CAPLUS

CN Carbamic acid, [[4-(5-methyl-4-phenyl-3-isoxazolyl)phenyl]sulfonyl]-, 2-[[2-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]carbonyl]oxy]ethyl]dithio]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

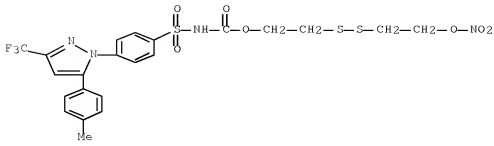


PAGE 1-B



RN 877865-25-3 CAPLUS

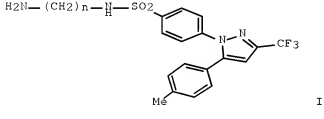
CN Carbamic acid, [[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, 2-[[2-(nitrooxy)ethyl]dithio]ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:960133 CAPLUS Full-text
DOCUMENT NUMBER: 143:241994
TITLE: Celecoxib N-aminoalkyl derivatives and cell proliferation inhibitors, apoptosis inducers, and prostaglandin production inhibitors containing them
INVENTOR(S): Handa, Hiroshi; Kawai, Shinichi; Kusu, Natsuko
PATENT ASSIGNEE(S): Rikogaku Shinkokai, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005232101	A	20050902	JP 2004-44561	20040220

PRIORITY APPLN. INFO.: JP 2004-44561 20040220
GI



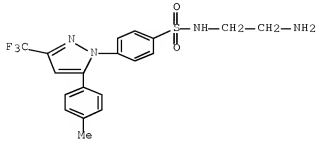
I

AB Claimed are the derivs. I (n = 1-3) show higher cell proliferation inhibiting activity than that of celecoxib. I are useful for antitumor agents and antirheumatic agents. Thus, I (n = 2) induced apoptosis of HT-29 human tumor cells and rheumatoid arthritis patient-derived synovial cells.

IT 862473-59-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of celecoxib N-aminoalkyl derivs. as cell proliferation inhibitors, apoptosis inducers, and prostaglandin production inhibitors)

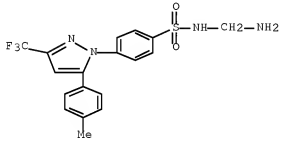
RN 862473-59-4 CAPLUS

CN Benzenesulfonamide, N-(2-aminoethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

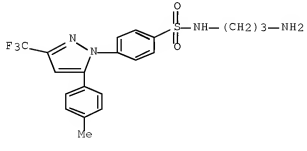


IT 863329-53-7 863329-54-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of celecoxib N-aminoalkyl derivs. as cell proliferation inhibitors, apoptosis inducers, and prostaglandin production inhibitors)

RN 863329-53-7 CAPLUS
CN Benzenesulfonamide, N-(aminomethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 863329-54-8 CAPLUS
CN Benzenesulfonamide, N-(3-aminopropyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



L3 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:700129 CAPLUS Full-text

DOCUMENT NUMBER: 143:206025

TITLE: A novel celecoxib derivative potently induces apoptosis of human synovial fibroblasts

AUTHOR(S): Kusunoki, Natsuko; Ito, Takumi; Sakurai, Nobuyuki; Suguro, Toru; Handa, Hiroshi; Kawai, Shinichi

CORPORATE SOURCE: Division of Rheumatology, Department of Internal Medicine, Toho University Omori Medical Center, Tokyo, Japan

SOURCE: Journal of Pharmacology and Experimental Therapeutics (2005), 314(2), 796-803
CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal

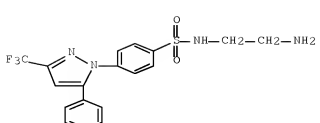
LANGUAGE: English

AB We have already demonstrated that celecoxib, a selective cyclooxygenase (COX)-2 inhibitor, has a proapoptotic effect on synovial fibroblasts obtained from patients with rheumatoid arthritis (RA). Here we report on the development of two novel derivs. of celecoxib, N-(2-aminoethyl)-4-[5- (4-tolyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide (TT101) and 4-[5-(4-aminophenyl)-3-(trifluoromethyl)-1H-pyrazol-1- yl]benzenesulfonamide (TT201), including whether these compds. have a proapoptotic effect on synovial fibroblasts. Synovial fibroblasts were harvested from the synovial tissues of patients with RA or osteoarthritis (OA). Cell proliferation and cell viability were assessed by the incorporation of 5-bromo-2'-deoxyuridine and by the 2-(4-iodophenyl)-3-(4- nitrophenyl)-5-(2,4-disulfophenyl)-2H-tetrazolium monosodium salt assay, resp. Apoptosis was detected by the identification of DNA fragmentation, and activation of caspase-3 was detected by the addition of a caspase-3 substrate to cell lyzates. Production of prostaglandin E2 by RA synovial fibroblasts was analyzed by ELISA. TT101 inhibited the proliferation of RA and OA synovial fibroblasts in a concentration-dependent manner. It caused a marked decrease of cell viability and induced DNA fragmentation more potently than either celecoxib or SC-236 (4-[5-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide). TT101 also increased caspase-3 activity. The order of potency of the COX-2 inhibitory activity of these drugs in RA synovial fibroblasts was celecoxib = SC-236 > rofecoxib > TT201 > TT101. In conclusion, we developed TT101 with about a 5- to 10-fold stronger proapoptotic effect on RA and OA synovial fibroblasts compared with that of celecoxib. Although the mechanism of action of TT101 remains unclear, it may have potential as a novel antirheumatic agent.

IT 862473-59-4, TT 101
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(celecoxib derivative potently induces apoptosis of human synovial fibroblasts)

RN 862473-59-4 CAPLUS

CN Benzenesulfonamide, N-(2-aminoethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

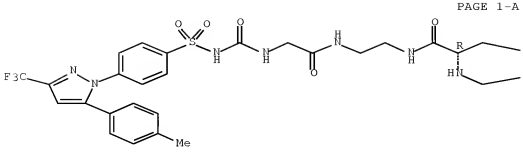
L3 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:524970 CAPLUS Full-text
DOCUMENT NUMBER: 143:48042
TITLE: N2S2 chelate-targeting ligand conjugates
INVENTOR(S): Yang, David J.; Yu, Dong-fang; Oh, Chang-Sok; Bryant, Jerry L.
PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA; Cell Point LLC
SOURCE: U.S. Pat. Appl. Publ., 68 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050129619	A1	20050616	US 2003-732919	20031210
PRIORITY APPLN. INFO.:			US 2003-732919	20031210

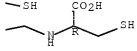
OTHER SOURCE(S): MARPAT 143:48042
AB The invention provides, in a general sense, a new labeling strategy employing compds. that are N2S2 chelates conjugated to a targeting ligand, wherein the targeting ligand is a disease cell cycle targeting compound, a tumor angiogenesis targeting ligand, a tumor apoptosis targeting ligand, a disease receptor targeting ligand, amifostine, angiostatin, monoclonal antibody C225, monoclonal antibody CD31, monoclonal antibody CD40, capecitabine, a COX-2 inhibitor, deoxycytidine, fullerene, herceptin, human serum albumin, lactose, leuteinizing hormone, pyridoxal, quinazoline, thalidomide, transferrin, or tri-Me lysine. The present invention also pertains to kits employing the compds. of interest, and methods of assessing the pharmacol. of an agent of interest using the present compds.
IT 693260-07-0DP, Tc-99 complexes
RL: DGN (Diagnostic use); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeted radiolabeled ligands for tumor imaging and therapy)
RN 693260-07-0 CAPLUS
CN 2,5,8,11,14-Pentaazahexadecan-16-oic acid, 10,15-bis(mercaptomethyl)-1-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]-1,4,9-trioxo-, (10R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

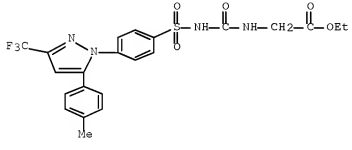
PAGE 1-A



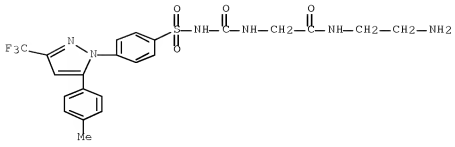
PAGE 1-B



IT 693260-02-6P 693260-05-8P 693260-07-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(targeted radiolabeled ligands for tumor imaging and therapy)
RN 693260-03-6 CAPLUS
CN Glycine, N-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]carbonyl]-, ethyl ester (CA INDEX NAME)



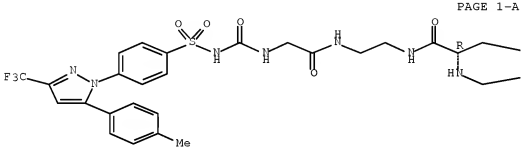
RN 693260-05-8 CAPLUS
CN Acetamide, N-(2-aminoethyl)-2-[[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]carbonyl]amino]- (CA INDEX NAME)



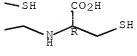
RN 693260-07-0 CAPLUS
CN 2,5,8,11,14-Pentaazahexadecan-16-oic acid, 10,15-bis(mercaptomethyl)-1-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]-1,4,9-trioxo-, (10R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L3 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:369275 CAPLUS Full-text
DOCUMENT NUMBER: 142:430265
TITLE: Preparation of substituted pyrazoles and isoxazoles as large conductance Ca-activated K channel openers
INVENTOR(S): Imanishi, Yasuhiro; Awai, Nobumasa; Hirai, Miki; Hosaka, Toshihiro; Kono, Rikako
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

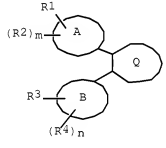
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037271	A2	20050428	WO 2004-JP15662	20041015
WO 2005037271	A3	20050901		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1675585	A2	20060705	EP 2004-792804	20041015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007518686	T	20070712	JP 2006-519291	20041015
US 20070060629	A1	20070315	US 2006-574529	20060404

PRIORITY APPLN. INFO.:

JP 2003-357325	A	20031017
JP 2004-17662	A	20040126
JP 2004-85143	A	20040323
JP 2004-194172	A	20040630
US 2004-584451P	P	20040701
WO 2004-JP15662	W	20041015

OTHER SOURCE(S): CASREACT 142:430265; MARPAT 142:430265
GI



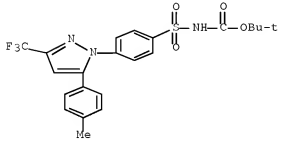
I

AB Title compds. I [A = benzene, heterocycle; B = benzene, heterocycle, etc.; Q = pyrazolyl, isoxazolyl; R1, R3 = carboxamido, hydrazido, etc.; m, n = 0-2; R2, R4 = oxo, CN, NO2, etc.] are prepared For instance, 4,4,4-trifluoro-1-(4-methylphenyl)butane-1,3-dione is reacted with 3-methylphenylhydrazine•HCl (EtOH, reflux, 20 h) to give 1-(3-methylphenyl)-5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazole (II). Data for over 400 compds. is given. The relaxation effect on K-induced contraction of isolated rabbit urinary bladder and the inhibitory effect on the rhythmic bladder contractions induced by substance P in anesthetized rats is provided for selected example compds. I are useful for the treatment of pollakiuria, urinary incontinence, etc.

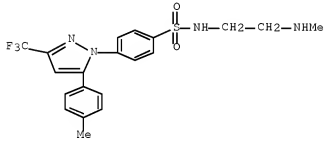
IT 850828-43-8P 850828-69-2P 850828-72-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted pyrazoles and isoxazoles as large conductance Ca-activated K channel openers)

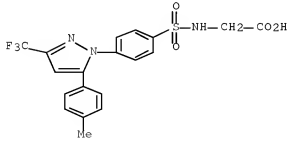
RN 850828-49-8 CAPLUS
CN Carbamic acid, [[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 850828-69-2 CAPLUS
CN Benzenesulfonamide, N-[2-(methylamino)ethyl]-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

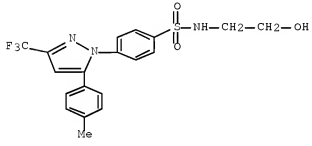


RN 850828-72-7 CAPLUS
CN Glycine, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)

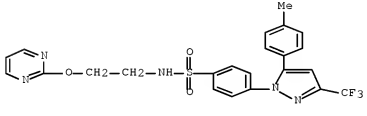


IT 473465-02-0P 850828-67-0P 850828-68-1P
850828-71-6P 850828-81-8P 850828-85-2P
850828-95-4P 850829-96-5P 850829-96-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyrazoles and isoxazoles as large conductance Ca-activated K channel openers)

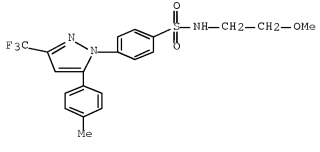
RN 473465-02-0 CAPLUS
CN Benzenesulfonamide, N-(2-hydroxyethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



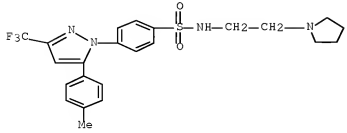
RN 850828-67-0 CAPLUS
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-N-[2-(2-pyrimidinyloxy)ethyl]- (CA INDEX NAME)



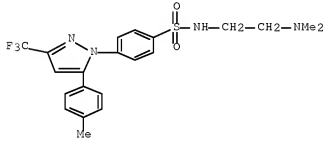
RN 850828-68-1 CAPLUS
CN Benzenesulfonamide, N-(2-methoxyethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



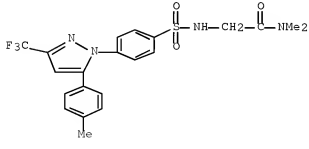
RN 850828-71-6 CAPLUS
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



RN 850828-81-8 CAPLUS
CN Benzenesulfonamide, N-[2-(dimethylamino)ethyl]-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

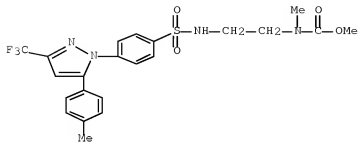


RN 850828-85-2 CAPLUS
CN Acetamide, N,N-dimethyl-2-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]- (CA INDEX NAME)

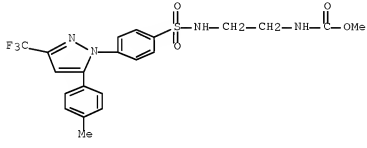


RN 850828-95-4 CAPLUS
CN Carbamic acid, methyl[2-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-

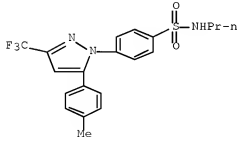
pyrazol-1-yl]phenyl]sulfonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 850828-96-5 CAPLUS
CN Carbamic acid, [2-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 850829-96-8 CAPLUS
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-N-propyl- (CA INDEX NAME)



L3 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:228963 CAPLUS Full-text
DOCUMENT NUMBER: 143:477897
TITLE: New N-substituted pyrazolyl-benzenesulfonamide

compounds as analogues of COX-2 selective inhibitors. II. N-Monosubstituted derivatives

AUTHOR(S): Croitoru, Maria; Pintilie, Lucia; Tanase, Constantin; Caproiu, Miron Teodor; Draghici, Constantin

CORPORATE SOURCE: Nat. Inst. Chem.-Pharm. Res. Dev., Bucharest, 031299, Rom.

SOURCE: Revista de Chimie (Bucharest, Romania) (2005), 56(2), 164-168

CODEN: RCBUAU; ISSN: 0034-7752

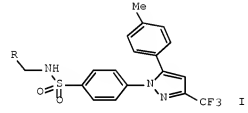
PUBLISHER: SYSCOM 18 SRL

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:477897

GI



I

AB The synthesis of aminosulfonylphenyl pyrazoles I (R = n-pentyl, Ph, 2-furyl, 2-thienyl) by N-monoalkylation of COX-2 selective inhibitor Celecoxib is described.

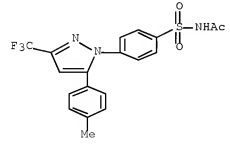
IT 198471-47-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-monoalkyl-substituted aminosulfonylphenyl pyrazoles as analogs of COX-2 selective inhibitors)

RN 198471-47-5 CAPLUS

CN Acetamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)

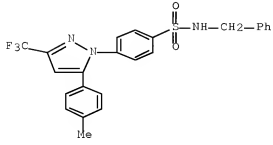


IT 869647-26-7P 869647-28-9P 869647-29-0P 869647-30-3P

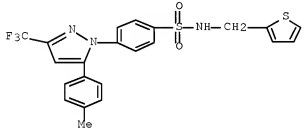
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of N-monoalkyl-substituted aminosulfonylphenyl pyrazoles as analogs of COX-2 selective inhibitors)

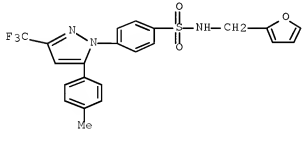
RN 869647-26-7 CAPLUS
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-N-(phenylmethyl)- (CA INDEX NAME)



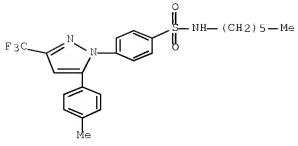
RN 869647-28-9 CAPLUS
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-N-(2-thienylmethyl)- (CA INDEX NAME)



RN 869647-29-0 CAPLUS
CN Benzenesulfonamide, N-(2-furanylmethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

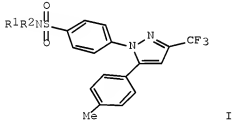


RN 869647-30-3 CAPLUS
CN Benzenesulfonamide, N-hexyl-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:67022 CAPLUS Full-text
DOCUMENT NUMBER: 143:59883
TITLE: New N-substituted pyrazolylbenzenesulfonamide compounds as analogs of COX-2 selective inhibitors
AUTHOR(S): Croitoru, Maria; Pintilie, Lucia; Tanase, Constantin; Stuparu, Alexandrina; Cioates, Catalina; Cocu, F. Orea; Caproiu, Miron Teodor; Draghici, Constantin
CORPORATE SOURCE: Natl. Inst. Chem.-Farm. Res. Develop., Bucharest, 031299, Rom.
SOURCE: Revista de Chimie (Bucharest, Romania) (2004), 55(12), 993-997
CODEN: RCBUAU; ISSN: 0034-7752
PUBLISHER: SYSCOM 18 SRL
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:59883
GI



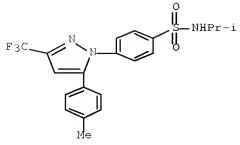
I

AB Title compds. I [R1 = R2 = (cyclohexylcarbamoyl)methyl, benzyl, p-chlorobenzyl, allyl, isopentyl; R1 = Me2CH, isopentyl, R2 = H] were prepared by N-alkylation of Celecoxib.

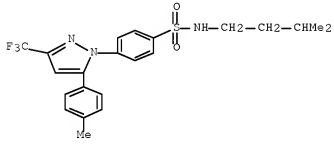
IT 853793-31-4P 853793-33-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(N-alkylation of Celecoxib)

RN 853793-31-4 CAPLUS

CN Benzenesulfonamide, N-(1-methylethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 853793-33-6 CAPLUS
CN Benzenesulfonamide, N-(3-methylbutyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:430988 CAPLUS Full-text
DOCUMENT NUMBER: 140:419980
TITLE: Ethylenedicysteine (EC)-drug conjugates, compositions and methods for tissue specific disease imaging
INVENTOR(S): Yang, David J.; Yu, Dong-Fang; Oh, Chang-Sok; Bryant, Jerry L., Jr.
PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA; Cell Point, LLC
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004044227	A2	20040527	WO 2003-US36078	20031107
WO 2004044227	A3	20041111		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

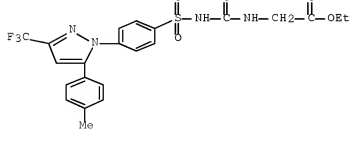
CA 2505537	A1	20040527	CA 2003-2505537		20031107
AU 2003297261	A1	20040603	AU 2003-297261		20031107
US 20040166058	A1	20040826	US 2003-703405		20031107
EP 1562641	A2	20050817	EP 2003-811262		20031107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003016046	A	20050913	BR 2003-16046		20031107
CN 1723042	A	20060118	CN 2003-80105318		20031107
JP 2006515835	T	20060608	JP 2004-552132		20031107
NO 2005002265	A	20050803	NO 2005-2265		20050510
IN 2005DN02034	A	20070119	IN 2005-DN2034		20050512
PRIORITY APPLN. INFO.:			US 2002-424493P	P	20021107
			WO 2003-US36078	W	20031107

OTHER SOURCE(S): MARPAT 140:419980

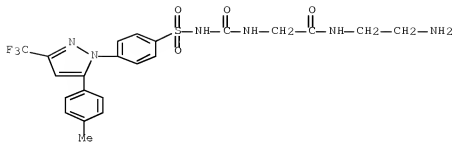
AB The invention provides, in a general sense, a new labeling strategy employing compds. that are N2S2 chelates conjugated to a targeting ligand, wherein the targeting ligand is a disease cell cycle targeting compound, a tumor angiogenesis targeting ligand, a tumor apoptosis targeting ligand, a disease receptor targeting ligand, amifostine, angiostatin, monoclonal antibody C225, monoclonal antibody CD31, monoclonal antibody CD40, capecitabine, COX-2, deoxycytidine, fullerene, herceptin, human serum albumin, lactose, leuteinizing hormone, pyridoxal, quinazoline, thalidomide, transferrin, or tri-Me lysine. The present invention also pertains to kits employing the compds. of interest, and methods of assessing the pharmacol. of an agent of interest using the present compds.

IT 693260-03-6P 693260-05-8P
RL: DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(radiolabeled ethylenedicysteine-drug conjugates as imaging agents)

RN 693260-03-6 CAPLUS
CN Glycine, N-[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]carbonyl]-, ethyl ester (CA INDEX NAME)



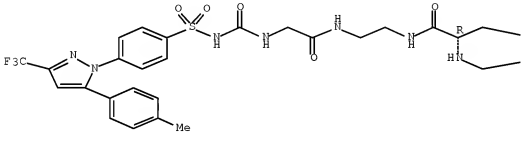
RN 693260-05-8 CAPLUS
CN Acetamide, N-(2-aminoethyl)-2-[[[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]carbonyl]amino]- (CA INDEX NAME)



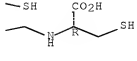
IT 693260-07-0DP, technetium 99 complexes
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(radiolabeled ethylenedicysteine-drug conjugates as imaging agents)
RN 693260-07-0 CAPLUS
CN 2,5,8,11,14-Pentaazahexadecan-16-oic acid, 10,15-bis(mercaptomethyl)-1-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]-1,4,9-trioxo-, (10R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

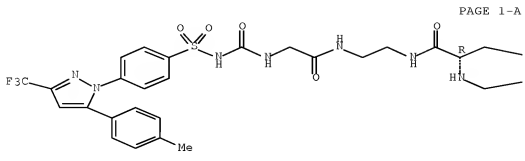


IT 693260-07-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(radiolabeled ethylenedicysteine-drug conjugates as imaging agents)
RN 693260-07-0 CAPLUS
CN 2,5,8,11,14-Pentaazahexadecan-16-oic acid, 10,15-bis(mercaptomethyl)-1-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-

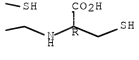
yl]phenyl]sulfonyl]amino]-1,4,9-trioxo-, (10R,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L3 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:392327 CAPLUS Full-text
DOCUMENT NUMBER: 140:395503
TITLE: Preparation of celecoxib prodrug
INVENTOR(S): Graneto, Matthew J.; Ewing, Gary D.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040092566	A1	20040513	US 2003-667622	20030922
CA 2505635	A1	20040527	CA 2003-2505635	20031103
WO 2004043934	A1	20040527	WO 2003-US35222	20031103
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003291278	A1	20040603	AU 2003-291278	20031103

EP 1562910	A1	20050817	EP 2003-768668		20031103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003016155	A	20050927	BR 2003-16155		20031103
CN 1711247	A	20051221	CN 2003-80103095		20031103
JP 2006508123	T	20060309	JP 2004-551736		20031103
IN 2005DN01630	A	20070302	IN 2005-DN1630		20050421
MX 2005PA04991	A	20050802	MX 2005-PA4991		20050509
NO 2005002813	A	20050802	NO 2005-2813		20050610
PRIORITY APPLN. INFO.:			US 2002-425703P	P	20021112
			WO 2003-US35222	W	20031103

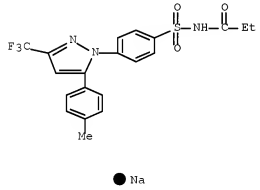
AB N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-sulfonyl]propanamide and pharmaceutically acceptable salts thereof are useful prodrugs of the selective COX-2 inhibitory drug celecoxib, which can be administered to a subject by any suitable route. Thus, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-N- propionylbenzenesulfonamide (0.18 mol) and ethanol (300 mL) were stirred at room temperature when sodium hydroxide (0.18 mol) was added. After 0.5 h, the mixture was concentrated, water (300 mL) was added and the mixture was re-concentrated This process was repeated, and the product, a white solid, was obtained after drying at 70° for 2 days (81.7 g, 98.8%). The Cmax, Tmax and AUC of the composition was 5040 ng/mL, 1.83 h, and 55733 ng/h/mL.

IT 606126-16-3P

RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of celecoxib prodrug)

RN 606126-16-3 CAPLUS

CN Propanamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, sodium salt (1:1) (CA INDEX NAME)

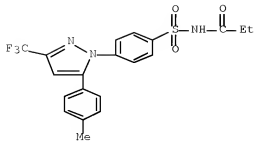


IT 527745-05-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of celecoxib prodrug)

RN 527745-05-7 CAPLUS

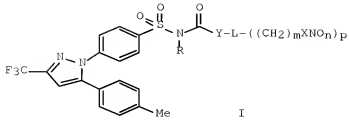
CN Propanamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)



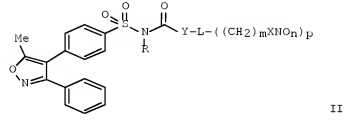
L3 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:370913 CAPLUS Full-text
DOCUMENT NUMBER: 140:375166
TITLE: Preparation of nitric oxide releasing selective cyclooxygenase-2 inhibitors
INVENTOR(S): Wang, Zhaoyin; Young, Robert N.; Zamboni, Robert
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037798	A1	20040506	WO 2003-CA1605	20031021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2503063	A1	20040506	CA 2003-2503063	20031021
AU 2003278039	A1	20040513	AU 2003-278039	20031021
EP 1562914	A1	20050817	EP 2003-769122	20031021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060058363	A1	20060316	US 2005-530214	20050404
PRIORITY APPLN. INFO.:			US 2002-420292P P	20021022
			WO 2003-CA1605 W	20031021

OTHER SOURCE(S): MARPAT 140:375166
GI



I



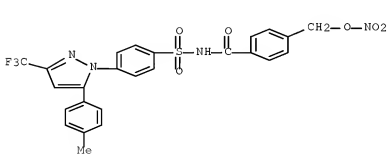
II

AB Novel compds. of formulas I and II [R = H, alkyl; L = bond, alkylidene, cycloalkylidene, aryl, etc.; X = O, S; Y = bond, S, O, (substituted) NH; m = 0-4; n = 1-2; p = 1-4] are prepared, which are nitric oxide-releasing prodrugs useful in the treatment of cyclooxygenase-2 mediated diseases. The invention also encompasses certain pharmaceutical compns. and methods for treatment of cyclooxygenase-2 mediated diseases comprising the use of compds. I or II. The above compds. may be used as a combination therapy with low-dose aspirin to treat chronic cyclooxygenase-2 mediated diseases or conditions while simultaneously reducing the risk of thrombotic cardiovascular events.

IT 586347-24-2P 685106-98-3P 685107-04-4P
685107-08-8P 685107-12-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrosated or nitrosylated prodrugs for cyclooxygenase-2 inhibitors)

RN 586347-24-2 CAPLUS

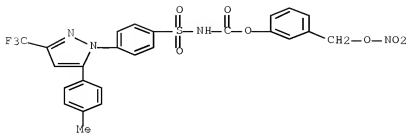
CN Benzamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-4-[(nitrooxy)methyl]- (CA INDEX NAME)



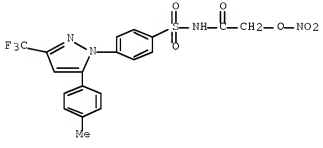
RN 685106-98-3 CAPLUS

CN Carbamic acid, [[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, 3-[(nitrooxy)methyl]phenyl ester (9CI) (CA INDEX

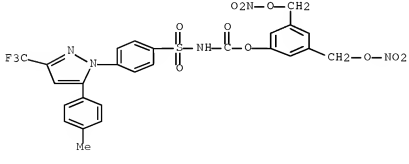
NAME)



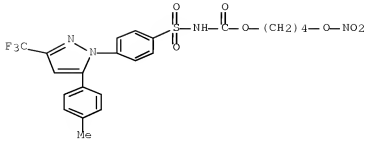
RN 685107-04-4 CAPLUS
CN Acetamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-2-(nitrooxy)- (CA INDEX NAME)



RN 685107-08-8 CAPLUS
CN Carbamic acid, [[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, 3,5-bis[(nitrooxy)methyl]phenyl ester (9CI) (CA INDEX NAME)



RN 685107-12-4 CAPLUS
CN Carbamic acid, [[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, 4-(nitrooxy)butyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:246964 CAPLUS Full-text
DOCUMENT NUMBER: 140:287382
TITLE: A preparation of (hetero)cyclic calcium-activated potassium channel activators useful for treatment of, e.g., pollakiuria and urinary
INVENTOR(S): Kono, Rikako; Kohnomi, Shuntarou; Aihara, Hajime; Hosaka, Toshihiro; Kashiwagi, Toshihiko
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1400243	A1	20040324	EP 2003-255860	20030918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005053888	A	20050303	JP 2003-327162	20030919
US 20050075359	A1	20050407	US 2003-665528	20030922
PRIORITY APPLN. INFO.:			JP 2002-272662 A	20020919
			JP 2003-70298 A	20030314
			JP 2003-278699 A	20030724

OTHER SOURCE(S): MARPAT 140:287382
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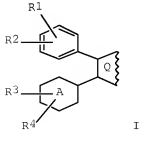


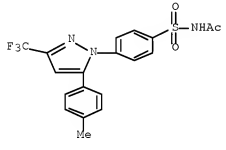
I

AB The invention relates to a preparation of (hetero)cyclic compds. of formula I [wherein: A = benzene, pyridine, cycloalkane; Q = (un)substituted imidazole, oxazole, cyclopentane, pyrrole, or pyridine, etc.; R1 = halogen, aminosulfonyl, alkylsulfonyl, alkanoylaminosulfonyl; R2 = H or halogen; R3, R4 = H, halogen, alkyl, alkoxy; rings A and Q may be fused to each other], useful as large-conductance calcium-activated potassium channel openers. Compds. I have excellent large conductance Ca-activated K-channel opening activity, and are useful for the treatment of hypertension, premature birth, pollakiuria, and urinary incontinence, etc. Compds. I (prepns. referenced, phys. data for 27 compds.) were tested for a relaxation effect on potassium-induced contraction of isolated rabbit urinary bladder and inhibitory effect on the rhythmic bladder contractions induced by substance P in anesthetized rats.

IT 198471-47-5P, N-Acetyl-4-[5-(4-methylphenyl)-3-trifluoromethyl-1H-pyrazol-1-yl]benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hetero)cyclic compds. useful as calcium-activated potassium channel openers/activators)

RN 198471-47-5 CAPLUS

CN Acetamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:2830 CAPLUS Full-text

DOCUMENT NUMBER: 140:59410

TITLE: Preparation of nitrooxy derivatives of cyclooxygenase-2 inhibitors

INVENTOR(S): Del Soldato, Piero; Santus, Giancarlo

PATENT ASSIGNEE(S): Nicox S.A., Fr.

SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004000781	A2	20031231	WO 2003-EP6502		20030620
WO 2004000781	A3	20041014			

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

IT 2002MI1391	A1	20031229	IT 2002-MI1391		20020625
CA 2491209	A1	20031231	CA 2003-2491209		20030620
AU 2003245972	A1	20040106	AU 2003-245972		20030620
EP 1517889	A2	20050330	EP 2003-738069		20030620

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1662490	A	20050831	CN 2003-814682		20030620
JP 2005530836	T	20051013	JP 2004-514803		20030620
NZ 537043	A	20060929	NZ 2003-537043		20030620
ZA 2004010060	A	20051020	ZA 2004-10060		20041213
MX 2004PA12851	A	20050224	MX 2004-PA12851		20041216
NO 2005000346	A	20050228	NO 2005-346		20050121
US 20060106082	A1	20060518	US 2005-516938		20050913
PRIORITY APPLN. INFO.:			IT 2002-MI1391	A	20020625
			WO 2003-EP6502	W	20030620

OTHER SOURCE(S): MARPAT 140:59410

AB Disclosed are new compds. able to release COX-2 inhibitors and NO (no data) having formula M-T-YA-NO2 [wherein M-T = the residue of a COX-2 selective inhibitor (T = SO2NH, SO2NR, CO, O, S, NH, N(SO2R); R = C1-10 alkyl; the COX-2 selective inhibitor, M-TH or M-TOH, has to meet test 2 mentioned in the description); YA = -(B)b0-(C)c0- [b0, c0 = 0,1, with the proviso that b0 and c0 cannot be simultaneously 0; B = TB-X2-TB1; TB = CO, X; X = O, S, NH, NR, R (defined above); TB = CO when T = SO2NH, SO2NR-O, S, NH, or N(SO2R), TB = X when T = CO; TB1 = CO or X (defined above); X2 = a divalent radical selected from the following compds. Q or Q1, etc. (n1, n2 = 0, 1; R2, R3 = H, Me; Y1 = CH2CH2, CH:CH(CH2)n2; n2 = 0, 1)]] for the treatment and/or prophylaxis of inflammatory disorders, pain, fever, cardiovascular disease, gastrointestinal disorders, tumors, Alzheimer's disease, or disorders resulting from elevated levels of COX-2. These compds. including 5-niroxypentanoc acid, 4-nitrooxybutyric acid, and 4-nitrooxybutyramide, 2-nitroxymethylbenzoic acid ester derivs. mitigate or remove the known side-effects of COX-2 inhibitors. The inflammatory disorders are selected from the group consisting of, but not limited to, arthritis, rheumatoid arthritis, osteoarthritis, allergic rhinitis, sinusitis, chronic obstructive pulmonary diseases, dermatitis, psoriasis, cystic fibrosis, multiple sclerosis, vasculitis and organ transplant rejection. The cardiovascular diseases are selected from the group consisting of, but not limited to, atherosclerosis, restenosis, coronary artery disease, angina, diabetes mellitus, diabetic nephropathy, diabetic retinopathy, stroke and myocardial infarct. The gastrointestinal disorders are selected from the group consisting of, but not limited to, inflammatory intestinal disorders, Crohn's disease, gastritis, ulcerative colitis, peptic ulcer, hemorrhagic ulcer, gastric hyperacidity, dyspepsia, gastroparesis, Zollinger-Ellison's syndrome, bacterial infections, hypersecretory states associated with systemic mastocytosis or basophilic leukemia and hyperhystaminemia. The disorders resulting from elevated levels of COX-2 are selected from the group consisting of, but not limited to, angiogenesis, arthritis, asthma, bronchitis, menstrual cramps, tendonitis, bursitis, neoplasia, ophthalmic diseases, pulmonary inflammations, central nervous

system disorders, allergic rhinitis, atherosclerosis, endothelial disorders, organs and tissues preservation, inhibition and/or prevention of platelets aggregation. Thus, N-[6-[(2,4-difluorophenyl)thio]-2,3-dihydro-1-oxo-1-inden-5-yl]-N-[4- (chloro)butyroyloxymethyl]methanesulfonamide. A solution of chloromethyl (4-chloro)butyrate (1 g, 5.40 mmol) in anhydrous THF (5 mL) was slowly added dropwise in a suspension of N-[6-[(2,4-difluorophenyl)thio]-2,3-dihydro-1- oxo-1-inden-5-yl]methanesulfonamide sodium salt (2.04 g, 5.40 mmol) in anhydrous THF (25 mL) and stirred at room temperature overnight to give, after workup and silica gel chromatog., N-[6-[(2,4-difluorophenyl)thio]-2,3-dihydro-1-oxo-1-inden-5-yl]-N-[4-(chloro)butyroyloxymethyl]methanesulfonam ide (I). A solution of I (1 g, 1.98 mmol) in MeCN (20 mL) was added with AgNO3 (0.67 g, 3.96 mmol), heated at 80° for 15 h in the absence of light, filtered to remove the silver salt, evaporated under vacuum, and purified by chromatog. on a silica gel column to give with n-hexane/ethyl acetate 8/2 as eluent to give 503 mg N-[6-[(2,4-difluorophenyl)thio]-2,3- dihydro-1-oxo-1-inden-5-yl]-N-[4-(nitrooxy)butyroyloxymethyl]methanesulfon amide.

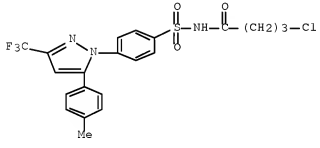
IT 637779-34-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of nitrooxy derivs. of cyclooxygenase-2 inhibitors for treatment and/or prophylaxis of inflammatory disorders, pain, fever, cardiovascular disease, gastrointestinal disorders, tumors, or Alzheimer's disease)

RN 637779-34-1 CAPLUS

CN Butanamide, 4-chloro-N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)



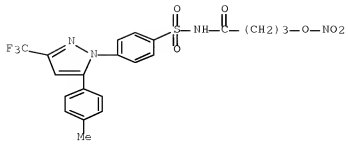
IT 586347-45-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

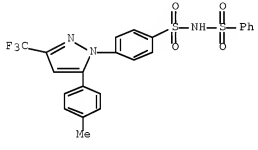
(preparation of nitrooxy derivs. of cyclooxygenase-2 inhibitors for treatment and/or prophylaxis of inflammatory disorders, pain, fever, cardiovascular disease, gastrointestinal disorders, tumors, or Alzheimer's disease)

RN 586347-45-7 CAPLUS

CN Butanamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-4-(nitrooxy)- (CA INDEX NAME)



IT 637779-35-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of nitrooxy derivs. of cyclooxygenase-2 inhibitors for treatment and/or prophylaxis of inflammatory disorders, pain, fever, cardiovascular disease, gastrointestinal disorders, tumors, or Alzheimer's disease)
RN 637779-35-2 CAPLUS
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)

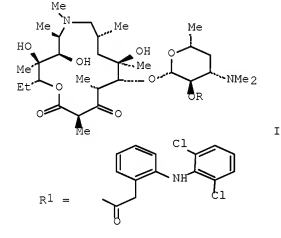


L3 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:678606 CAPLUS Full-text
DOCUMENT NUMBER: 139:197709
TITLE: macrolide erythromycin conjugates of biologically active compounds, methods for their preparation and use, formulation, and pharmaceutical applications thereof
INVENTOR(S): Burnet, Michael; Guse, Jan-Hinrich; Gutke, Hans-Jurgen; Beck, Albert; Tsotsou, Georgia; Droste-Borel, Irina; Reichert, Jeannette; Luyten, Kattie; Busch, Maximilian; Wolff, Michael; Khobzaoui, Moussa; Margutti, Simona; Meindl, Thomas; Kim, Gene; Barker, Laurence
PATENT ASSIGNEE(S): Sympore G.m.b.H., Germany
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070174	A2	20030828	WO 2003-US4609	20030214
WO 2003070174	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2476423	A1	20030828	CA 2003-2476423	20030214
AU 2003219770	A1	20030909	AU 2003-219770	20030214
EP 1483277	A2	20041208	EP 2003-716044	20030214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
NZ 535354	A	20080131	NZ 2003-535354	20030214
IN 2004CN01815	A	20060616	IN 2004-CN1815	20040813
US 20050171342	A1	20050804	US 2005-504787	20050324
PRIORITY APPLN. INFO.:			US 2002-357434P P	20020215
			WO 2003-US4609 W	20030214

OTHER SOURCE(S): MARPAT 139:197709

GI



AB Erythromycin macrolide conjugates T-(L-C)m, wherein T is a transportophore, L is a bond or a linker having a mol. weight up to 240 dalton, C is a non-antibiotic therapeutic agent, and m is 1-8, in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, and the compound has an immune selectivity ratio of at least 2, useful for enhancing efficacy of a therapeutic agent. Thus, macrolide I (R = R1) was prepared in 76% yield via coupling of I (R = H) with diclofenac as antitumor and antibacterial agent and was tested in vitro for its cytotoxicity and immunosuppressive activity using a mouse skin transplant model.

IT 586412-26-2P

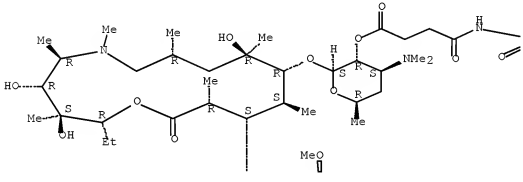
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)

RN 586412-26-2 CAPLUS

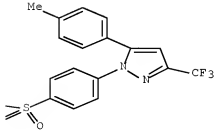
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-2-O-[4-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]-1,4-dioxobutyl]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (CA INDEX NAME)

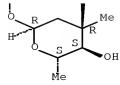
Absolute stereochemistry.

PAGE 1-A

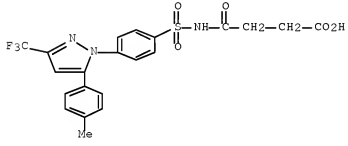


PAGE 1-B





IT 586412-28-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)
RN 586412-28-4 CAPLUS
CN Butanoic acid, 4-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]-4-oxo- (CA INDEX NAME)



L3 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:678605 CAPLUS Full-text
DOCUMENT NUMBER: 139:197708
TITLE: macrolide erythromycin conjugates of biologically active compounds, methods for their preparation and use, formulation, and pharmaceutical applications thereof
INVENTOR(S): Burnet, Michael; Guse, Jan-Hinrich; Kim, Gene; Beck, Albert; Tsotsou, Georgia; Droste-Borel, Irina; Barker, Laurence; Wolff, Michael; Gutke, Hans-Jurgen
PATENT ASSIGNEE(S): Sympore G.m.b.H., Germany
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070173	A2	20030828	WO 2003-US4596	20030214
WO 2003070173	A3	20031204		

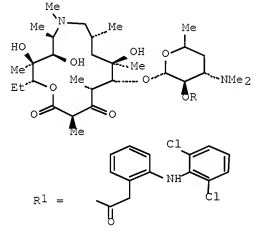
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003215245	A1	20030909	AU 2003-215245		20030214
US 20040005641	A1	20040108	US 2003-367624		20030214
EP 1483579	A2	20041208	EP 2003-711061		20030214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
IN 2004CN01809	A	20060224	IN 2004-CN1809		20040813
US 20060099660	A1	20060511	US 2005-504786		20050929
US 20080145343	A1	20080619	US 2007-895295		20070823
PRIORITY APPLN. INFO.:			US 2002-357589P	P	20020215
			US 2003-367624	B1	20030214
			WO 2003-US4596	W	20030214

OTHER SOURCE(S): MARPAT 139:197708

GI



I

AB Erythromycin macrolide conjugates T-(L-C)m, wherein T is a transportophore, L is a bond or a linker having a mol. weight up to 240 dalton, C is a non-antibiotic therapeutic agent, and m is 1-8, in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, and the compound has an immune selectivity ratio of at least 2, useful for enhancing efficacy of a therapeutic agent. Thus, macrolide I (R = R1) was prepared in 76% yield via coupling of I (R = H) with diclofenac as antitumor and antibacterial agent and was tested in vitro for its cytotoxicity and immunosuppressive activity using a mouse skin transplant model.

IT 586412-26-2P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications

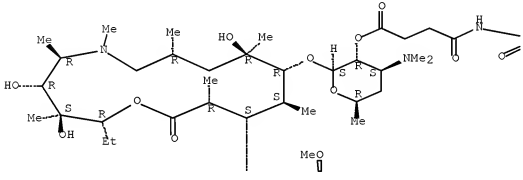
thereof)

RN 586412-26-2 CAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-2-O-[4-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]-1,4-dioxobutyl]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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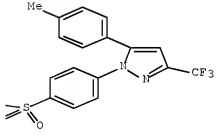

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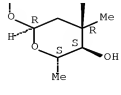
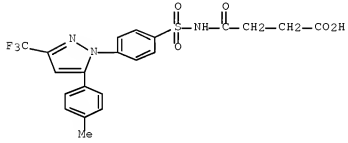


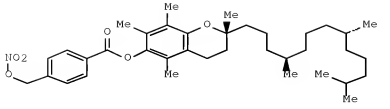
IT 586412-28-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)
RN 586412-28-4 CAPLUS
CN Butanoic acid, 4-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]-4-oxo- (CA INDEX NAME)



L3 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:652131 CAPLUS Full-text
DOCUMENT NUMBER: 139:214237
TITLE: Preparation of nitrate prodrugs able to release nitric oxide in a controlled and selective way and their use for prevention and treatment of inflammatory, ischemic and proliferative diseases
INVENTOR(S): Scaramuzzino, Giovanni
PATENT ASSIGNEE(S): Italy
SOURCE: Eur. Pat. Appl., 313 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1336602	A1	20030820	EP 2002-425075	20020213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			EP 2002-425075	20020213

GI



II

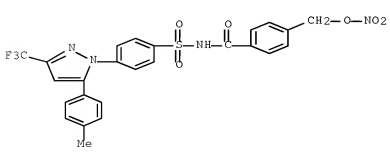
AB New pharmaceutical compds. of general formula F-(X)q (I) [q = 1-5, preferably 1; F is chosen among drugs such as δ-tocopherol, clidanac, diethylhomospermine, glucosamine, thymocartin, vofopitant, etc.; X is chosen among 4 groups M, T, V, and Y where M = ONO2, nitrate salt, nitrite ester, ONO, thoinitrite, SNO, etc., T = OR1-M, OR1OR1-M, SR1NR2R1-M, NR2R1-M, NR2R1SR1-M, etc., R1 = saturated or unsatd., linear or branched alkylene, having 1 to 21 carbon atoms or a saturated or unsatd., optionally heterosubstituted or branched cycloalkylene, having 3 to 7 carbon atoms or an optionally heterosubstituted arylene having 3 to 7 carbon atoms; R2 = H, saturated or unsatd., linear or branched 1-21 carbon atom alkyl, saturated or unsatd. optionally heterosubstituted or branched 3-7 carbon cycloalkyl, optionally heterosubstituted 3-7 carbon aryl; R1, R2 = OH, SH, F, Cl, Br, OPO3H2, CO2H, etc.; bond between F and T = carboxylic ester, carboxylic amide, glycoside, azo, thioester, sulfonic ester, etc.; V = Z-M2, OZ-M2, NR2Z-M2, R1Z-M2, OR1-M2, OR1Z-M2, M2 = M, R1-M, OR1-M, SR1-M, NR2R1-M; ZM2 = COCH2CH(M2)CH2N+Me3, COCH2CH2COM2, COCH(NHR2)CH2M2, etc.; Y = 4-COC6H4CH2ONO2, O(CH2)4ONO2, COCH(NH2)CH2ONO2, 3-OC6H4CH2ONO2, etc.] were prepared For example, α-tocopherol reacted with 4-HO2CC6H4CH2ONO2 to give the nitroxymethyl derivative II. The compds. of general formula I are nitrate prodrugs which can release nitric oxide in vivo in a controlled and selective way and without hypotensive side effects and for this reason they are useful for the preparation of medicines for prevention and treatment of inflammatory, ischemic, degenerative and proliferative diseases of musculoskeletal, tegumental, respiratory, gastrointestinal, genito-urinary and central nervous systems.

IT 586347-24-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of nitrate prodrugs for treating or preventing inflammatory, ischemic, degenerative and proliferative diseases)

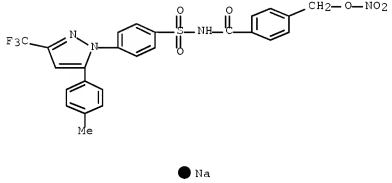
RN 586347-24-2 CAPLUS

CN Benzamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-4-[(nitrooxy)methyl]- (CA INDEX NAME)

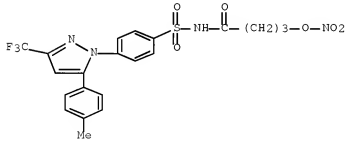


IT 586347-25-3P 586347-45-7P 586347-46-8P
586347-47-9P 586348-11-0P 586348-12-1P
586348-13-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrate prodrugs for treating or preventing inflammatory, ischemic, degenerative, and proliferative diseases)

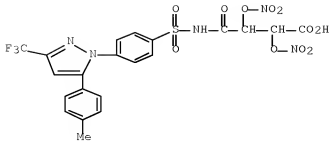
RN 586347-25-3 CAPLUS
CN Benzamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-4-[(nitrooxy)methyl]-, sodium salt (1:1) (CA INDEX NAME)



RN 586347-45-7 CAPLUS
CN Butanamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-4-(nitrooxy)- (CA INDEX NAME)

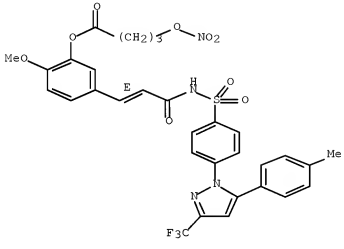


RN 586347-46-8 CAPLUS
CN Butanoic acid, 4-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]-2,3-bis(nitrooxy)-4-oxo- (CA INDEX NAME)



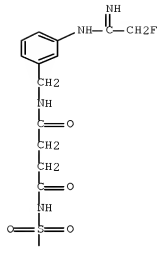
RN 586347-47-9 CAPLUS
CN Butanoic acid, 4-(nitrooxy)-, 2-methoxy-5-[(1E)-3-[[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]amino]-3-oxo-1-propen-1-yl]phenyl ester (CA INDEX NAME)

Double bond geometry as shown.



RN 586348-11-0 CAPLUS
CN Butanediamide, N1-[[3-[(2-fluoro-1-iminoethyl)amino]phenyl]methyl]-N4-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)

PAGE 1-A



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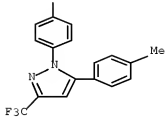
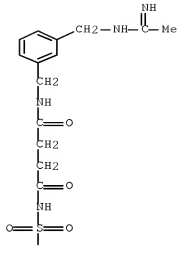


RN 586348-12-1 CAPLUS
CN Butanediamide, N1-[[3-[[(1-iminoethyl)amino]methyl]phenyl]methyl]-N4-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-(CA INDEX NAME)

PAGE 1-A



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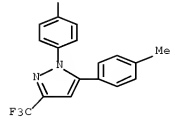
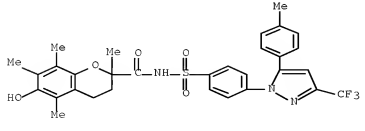
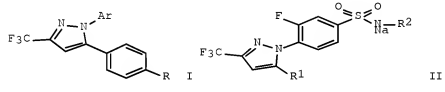


RN 586348-13-2 CAPLUS
CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:623095 CAPLUS Full-text
DOCUMENT NUMBER: 139:276844
TITLE: Synthesis and Cyclooxygenase-2 Inhibiting Property of 1,5-Diarylpyrazoles with Substituted Benzenesulfonamide Moiety as Pharmacophore: Preparation of Sodium Salt for Injectable Formulation
AUTHOR(S): Pal, Manojit; Madan, Manjula; Padakanti, Srinivas; Pattabiraman, Vijaya R.; Kalleda, Srinivas; Vanguri, Akhila; Mullangi, Ramesh; Mamidi, N. V. S. Rao; Casturi, Seshagiri R.; Malde, Alpeshkumar; Gopalakrishnan, B.; Yeleswarapu, Koteswar R.
CORPORATE SOURCE: Discovery-Chemistry and Discovery-Biology, Dr Reddy's Laboratories Ltd., Hyderabad, 500050, India
SOURCE: Journal of Medicinal Chemistry (2003), 46(19), 3975-3984
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:276844
GI

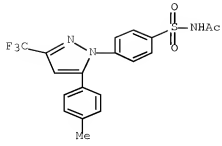


AB A series of 1,5-diarylpyrazoles having a substituted benzenesulfonamide moiety as pharmacophore, e.g. (I; Ar = 2 or 3-fluoro-4-sulfamoylphenyl, 3-methyl-4-sulfamoylphenyl; R = OMe, SMe) and (II; R1 = 4-methoxyphenyl, 4-methylthiophenyl, 4-fluorophenyl; R2= propanoyl, butyryl) was synthesized and evaluated for cyclooxygenase (COX-1/COX-2) inhibitory activities. Through SAR and mol. modeling, it was found that fluorine substitution on the benzenesulfonamide moiety along with an electron-donating group at the 4-position of the 5-aryl ring yielded selectivity as well as potency for COX-2 inhibition in vitro. Among such compds. 3-fluoro-4-[5-(4-methoxyphenyl)-3-trifluoromethyl-1H-1-pyrazolyl]- 1-benzenesulfonamide 3 displayed interesting pharmacokinetic properties along with antiinflammatory activity in vivo. Among the sodium salts tested in vivo, 10, the propionyl analog of 3, showed excellent antiinflammatory activity and therefore represents a new lead structure for the development of injectable COX-2 specific inhibitors.

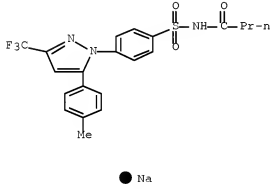
IT 198471-48-6P 606126-15-2P 606126-16-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and cyclooxygenase-2 inhibiting property of diarylpyrazoles with substituted benzenesulfonamide moiety as pharmacophore and sodium salts for injectable formulation)

RN 198471-48-6 CAPLUS

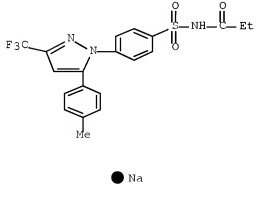
CN Acetamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, sodium salt (1:1) (CA INDEX NAME)



RN 606126-15-2 CAPLUS
CN Butanamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, sodium salt (1:1) (CA INDEX NAME)



RN 606126-16-3 CAPLUS
CN Propanamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, sodium salt (1:1) (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:977656 CAPLUS Full-text
DOCUMENT NUMBER: 138:44728
TITLE: Stabilized oral pharmaceutical composition
INVENTOR(S): Gao, Ping; Huang, Tiehua; Robins, Russell H.; Bauer, Juliane M.; Guido, Jane E.; Brugger, Andrew M.; Karim, Aziz; Hassan, Fred; Forbes, James C.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102376	A1	20021227	WO 2002-US11690	20020412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2444356	A1	20021227	CA 2002-2444356	20020412
AU 2002254609	A1	20030102	AU 2002-254609	20020412
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JP 2004529986	T	20040930	JP 2003-504963	20020412
BR 2002008947	A	20041019	BR 2002-8947	20020412
EP 1494666	A1	20050112	EP 2002-723846	20020412
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NZ 528716	A	20050429	NZ 2002-528716	20020412
US 20030055012	A1	20030320	US 2002-123730	20020416
US 6613790	B2	20030902		
AT 370938	T	20070915	AT 2002-762127	20020417
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US 20040002522	A1	20040101	US 2003-439023	20030515
US 6809111	B2	20041026		
ZA 2003007576	A	20050131	ZA 2003-7576	20030929
MX 2003PA09410	A	20040129	MX 2003-PA9410	20031014
IN 2003CN01632	A	20051125	IN 2003-CN1632	20031015
NO 2003004627	A	20031212	NO 2003-4627	20031016
US 20050032852	A1	20050210	US 2004-940053	20040914
US 20050112197	A1	20050526	US 2004-969140	20041020
HK 1068278	A1	20070824	HK 2005-100590	20050121

PRIORITY APPLN. INFO.:		
US 2001-284589P	P	20010417
US 2002-357959P	P	20020219
US 2002-119118	B1	20020409
WO 2002-US11690	W	20020412

OTHER SOURCE(S): MARPAT 138:44728

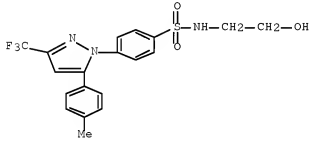
AB An orally deliverable pharmaceutical composition is provided comprising an aminosulfonyl-comprising drug, a selective cyclooxygenase-2 inhibitory drug such as celecoxib, and a solvent liquid comprising a polyethylene glycol and 1 or more free radical-scavenging antioxidants. At least a substantial part of the drug is in a dissolved form in the liquid solvent. The composition has rapid-onset properties and is useful in treatment of cyclooxygenase-2 mediated conditions and disorders. Thus, a solution formulation contained celecoxib 200, water 26, HPMC 38, EtOH 113, PEG-400 271, PVP 47, Polysorbate-80 217, tromethamine 26, oleic acid 61, and Pr gallate 1 mg.

IT 473465-02-0

RL: ANT (Analyte); FMU (Formation, unclassified); ANST (Analytical study); FORM (Formation, nonpreparative)
(impurity as anal. marker for celecoxib stability detection; stabilized oral pharmaceutical composition)

RN 473465-02-0 CAPLUS

CN Benzenesulfonamide, N-(2-hydroxyethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:814115 CAPLUS Full-text
DOCUMENT NUMBER: 137:325408
TITLE: Preparation of azolylphenylsulfonamide prodrugs of cyclooxygenase-2 (cox-2) inhibitors
INVENTOR(S): Carter, Jeffery S.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083655	A1	20021024	WO 2002-US12013	20020417

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20030105144	A1	20030605	US 2002-119118		20020409
CN 1516581	A	20040728	CN 2002-812052		20020412
US 20030055012	A1	20030320	US 2002-123730		20020416
US 6613790	B2	20030902			
CA 2444267	A1	20021024	CA 2002-2444267		20020417
AU 2002307351	A1	20021028	AU 2002-307351		20020417
EP 1379513	A1	20040114	EP 2002-762127		20020417
EP 1379513	B1	20070822			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004526765	T	20040902	JP 2002-581411		20020417
AT 370938	T	20070915	AT 2002-762127		20020417
ES 2289139	T3	20080201	ES 2002-762127		20020417
US 20040002522	A1	20040101	US 2003-439023		20030515
US 6809111	B2	20041026			
ZA 2003007576	A	20050131	ZA 2003-7576		20030929
US 20050032852	A1	20050210	US 2004-940053		20040914
US 20050112197	A1	20050526	US 2004-969140		20041020
PRIORITY APPLN. INFO.:			US 2001-284589P	P	20010417
			US 2002-357959P	P	20020219
			US 2002-119118	B1	20020409
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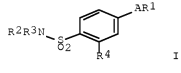


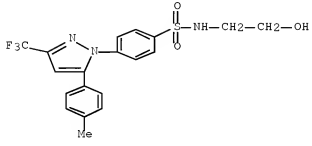
I

AB Title compds. [I; A = (substituted) heterocyclyl, heteroaryl, cycloalkenyl, aryl; R1 = heteroaryl, heterocyclyl, cycloalkyl, cycloalkenyl aryl; R2, R3 = H, alkyl, alkylcarbonyl, hydroxyalkyl, heterocyclyl, heteroaryl, monosaccharide, disaccharide, polysacchamide, alkyl phosphate, acyloxyalkyl, alkylaminocarbonyl, alkoxyaralkyl, carboxyalkyl; ≥1 of R2 and R3 is other than H; wherein R2 is other than alkyl, carboxyalkyl or alkylcarbonyl when R3 is hydrido; and wherein R3 is other than alkyl, carboxyalkyl or alkylcarbonyl when R2 is hydrido; or R2R3N = (substituted) 3-7 membered saturated, partially unsatd. or unsatd. heterocyclic ring; R4 = H, F; wherein R5 is other than Me when A is isoxazole, R1 is Ph and R2R3 form a pyrrole ring], were prepared Thus, N-ethyl-4-(5-methyl-3-phenylisoxazol-4-yl)benzenesulfonamide and propionic anhydride were heated to 50° at which point H2SO4 was added; the temperature of the mixture was then increased to 80° and stirred for 15 min to give N-ethyl-4-(5-methyl-3-phenylisoxazol-4-yl)-N-propionylbenzenesulfonamide. In the air pouch model of inflammation in rats, tested I at 20 mg/kg gave 10-59% inhibition.

IT 472465-62-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolylphenylsulfonamide prodrugs of cyclooxygenase-2 (cox-2) inhibitors)
RN 473465-02-0 CAPLUS
CN Benzenesulfonamide, N-(2-hydroxyethyl)-4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



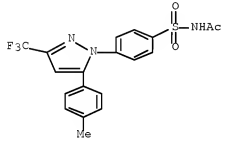
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:813590 CAPLUS Full-text
DOCUMENT NUMBER: 138:378489
TITLE: Pharmacological and pharmacokinetic evaluation of celecoxib prodrugs in rats
AUTHOR(S): Mamidi, Rao N. V. S.; Mullangi, Ramesh; Kota, Jagannath; Bhamidipati, Ravikanth; Khan, Ansar A.; Katneni, Kasiram; Datla, Srinivasaraju; Singh, Sunil K.; Rao, Koteswar Y.; Rao, C. Seshagiri; Srinivas, Nuggehally R.; Rajagopalan, Ramanujam
CORPORATE SOURCE: Laboratories of Bioanalysis, Drug Metabolism and Pharmacokinetics, Dr Reddy's Research Foundation, Hyderabad, 500 050, India
SOURCE: Biopharmaceutics & Drug Disposition (2002), 23(7), 273-282
CODEN: BDDID8; ISSN: 0142-2782
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This study demonstrates the utility of an in vitro - in vivo correlative approach in the selection and optimization of a prodrug candidate of celecoxib (CBX), a COX2 inhibitor. As an initial screening step, a comparative single oral dose pharmacokinetic study was conducted in rats for CBX and its three aliphatic acyl water-soluble prodrugs viz., CBX-acetyl (CBX-AC), CBX-propionyl (CBX-PR) and CBX-butyryl (CBX-BU) at high equimolar dose, 100 mg/kg. Only CBX-BU and CBX-PR converted rapidly to CBX and yielded approx. five-fold greater systemic exposure of CBX than CBX alone or CBX-AC. Rank order of systemic exposure of prodrugs in its intact form was CBX-AC > CBX-PR > CBX-BU. Further in vitro hydrolysis studies of CBX prodrugs in intestinal mucosal suspensions and liver homogenates indicated that CBX-BU is rapidly and completely converted to CBX, whereas CBX-PR and CBX-AC require longer incubation period for complete conversion to CBX. There was a very good correlation of the in vitro and in vivo data supporting CBX-BU as the prodrug

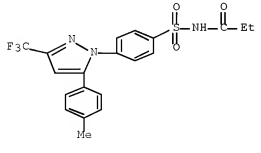
of choice. Further in vitro pharmacol. studies showed that COX2 selective inhibition is improved for CBX-BU as compared to CBX-AC and CBX-PR. Dose proportionality in pharmacokinetic studies of CBX-BU and CBX at equimolar oral doses confirmed that relative oral bioavailability of CBX was improved following CBX-BU administration and there was linearity in pharmacokinetics of CBX over a wide dose range (10-100 mg/kg), whereas CBX in its conventional form showed poor bioavailability and lack of dose linearity in pharmacokinetics. The oral bioavailability of CBX from CBX-BU was dose independent and was in the range 78-96%. At a 50% reduced molar dose, CBX-BU showed an equivalent efficacy to that of CBX in the in vivo carrageenan model. Based on the study, water-soluble CBX-BU prodrug can be considered for clin. development in view of its potential advantages.

IT 198471-47-5 527745-05-7 527745-06-8
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. and pharmacokinetic evaluation of celecoxib prodrugs in rats)

RN 198471-47-5 CAPLUS
CN Acetamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 527745-05-7 CAPLUS
CN Propanamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 527745-06-8 CAPLUS
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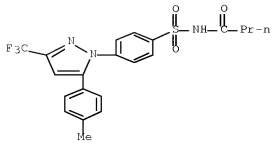

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:696748 CAPLUS Full-text
DOCUMENT NUMBER: 127:358861
ORIGINAL REFERENCE NO.: 127:70254h,70255a
TITLE: Substituted benzenesulfonamide derivatives as prodrugs of COX-2 inhibitors
INVENTOR(S): Talley, John J.; Malecha, James W.; Bertenshaw, Stephen; Graneto, Matthew J.; Carter, Jeffery S.; Li, Jinglin; Nagarajan, Srinivasan; Brown, David L.; et al.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Talley, John J.; Malecha, James W.; Bertenshaw, Stephen; Graneto, Matthew J.; Carter, Jeffery S.; Li, Jinglin
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9738986	A1	19971023	WO 1997-US5497	19970411
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CA 2249009	A1	19971023	CA 1997-2249009	19970411
CA 2249009	C	20030916		
AU 9727227	A	19971107	AU 1997-27227	19970411
AU 734275	B2	20010607		
EP 892791	A1	19990127	EP 1997-921092	19970411
EP 892791	B1	20030305		
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CN 1098256	C	20030108		
BR 9708574	A	19990803	BR 1997-8574	19970411
HU 9901807	A2	19990928	HU 1999-1807	19970411
HU 9901807	A3	20000828		

HU 225473	B1	20061228			
JP 2000509029	T	20000718	JP 1997-537139	19970411	
JP 3382624	B2	20030304			
AP 1009	A	20010921	AP 1998-1355	19970411	
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EE 3685	B1	20020415	EE 1998-351	19970411	
EP 1288206	A1	20030305	EP 2002-25005	19970411	
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AT 233743	T	20030315	AT 1997-921092	19970411	
PT 892791	T	20030630	PT 1997-921092	19970411	
IL 125849	A	20031031	IL 1997-125849	19970411	
ES 2194195	T3	20031116	ES 1997-921092	19970411	
SK 285353	B6	20061103	SK 1998-1242	19970411	
CZ 297430	B6	20061213	CZ 1998-2710	19970411	
RO 121338	B1	20070330	RO 1998-1469	19970411	
PL 195955	B1	20071130	PL 1997-329276	19970411	
ZA 9703146	A	19980414	ZA 1997-3146	19970414	
TW 585857	B	20040501	TW 1997-86107093	19970526	
US 5932598	A	19990803	US 1998-5610	19980112	
NO 9804727	A	19981214	NO 1998-4727	19981009	
NO 314184	B1	20030210			
LT 4586	B	19991227	LT 1998-142	19981009	
LV 12239	B	19990820	LV 1998-215	19981012	
KR 2000005395	A	20000125	KR 1998-708126	19981012	
BG 64531	B1	20050630	BG 1998-102916	19981112	
BG 109057	A	20051031	BG 1998-109057	19981112	
HK 1019741	A1	20030502	HK 1999-104900	19991101	
US 6436967	B1	20020820	US 2000-661859	20000914	
AU 762721	B2	20030703	AU 2001-35099	20010410	
US 20030069287	A1	20030410	US 2002-178697	20020624	
US 6815460	B2	20041109			
JP 2003160554	A	20030603	JP 2002-258955	20020904	
JP 4049307	B2	20080220			
AU 2003252266	A1	20031106	AU 2003-252266	20031002	
AU 2003252266	B2	20050915			
US 20050032851	A1	20050210	US 2004-939852	20040913	

PRIORITY APPLN. INFO.:

US 1996-631514	A2	19960412
AU 1997-27227	A3	19970411
JP 1997-537139	A3	19970411
WO 1997-US5497	W	19970411
EP 1997-921092	A3	19971023
US 1999-142993	B1	19990318
US 2000-661859	A3	20000914
AU 2001-35099	A	20010410
US 2002-178697	A3	20020624

OTHER SOURCE(S): MARPAT 127:358861

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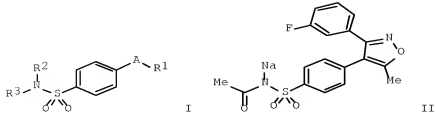
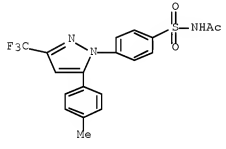


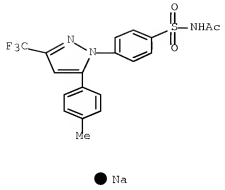
AB Prodrugs of COX-2 inhibitors, of formula I or their pharmaceutically acceptable salts, are useful in treating inflammation and inflammation-related disorders [wherein A = (un)substituted partially unsatd. heterocyclyl, heteroaryl, cycloalkenyl or aryl; R1 = (un)substituted heterocyclyl, cycloalkyl, cycloalkenyl, or aryl; R2 = H, alkoxycarbonylalkyl; R3 = alkyl, carboxyalkyl, acyl, alkoxycarbonyl, heteroarylcarbonyl, alkoxycarbonylalkylcarbonyl, alkoxycarbonylcarbonyl, amino acid residue, or alkylcarbonylaminoalkylcarbonyl; provided A ≠ tetrazolium or pyridinium, and A ≠ indanone when R3 = alkyl or carboxyalkyl]. Prepns. of over 80 compds. are described. For instance, 4-[5-methyl-3-(3-fluorophenyl)isoxazol-4-yl]benzenesulfonamide underwent N-acetylation with Ac2O, Et3N, and DMAP in THF (81%), and salification with NaOH in EtOH (97%), to give title salt II. At 30 mg/kg orally in the rat paw edema test, II gave 65% inhibition. Analgesic activity in rats, and a metabolism assay with S9 liver fractions, are also described for 3 selected compds.

IT 198471-47-5P 198471-48-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzenesulfonamide derivs. as prodrugs of COX-2 inhibitors)

RN 198471-47-5 CAPLUS
CN Acetamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)



RN 198471-48-6 CAPLUS
CN Acetamide, N-[[4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-, sodium salt (1:1) (CA INDEX NAME)

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